C:\Program Files\Stnexp\Queries\10577047.str

chain nodes : 13 14 15 16 17 18 19 20 21 23 24 26 27 28 41 43 56 57 58 59 60 61 62 63

64 70 ring nodes: 1 2 3 4 5 6 7 8 9 10 11 12 44 45 46 47 48 49 50 51 52 53 54 55

chain bonds : 6-41 9-56 13-19 14-20 15-27 16-28 17-21 18-21 19-23 20-24 21-26 41-43 46-57 52-58

56-59 57-60 58-61 59-62 60-63 61-64

ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 44-45 44-49 45-46 46-47
47-48 48-49 50-51 50-55 51-52 52-53 53-54 54-55

47-48 48-49 50-51 50-55 51-52 52-53 53-54 54-55 exact/norm bonds : 6-41 9-56 13-19 14-20 15-27 16-28 17-21 18-21 19-23 20-24 21-26 41-43 46-57 52-58

56-59 57-60 58-61 59-62 60-63 61-64 normalized bonds :

normalized Donds: 1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 44-45 44-49 45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53 53-54 54-55 isolated ring systems:

containing 1 : 7 : 44 : 50 :

G1:0,S

G2:N.[*1-*2],[*3-*4],[*5-*6],[*7-*8],[*9-*10]

G3:Cy,Ak

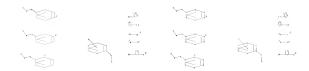
G4:[*11],[*12],[*13]

Match level :

1.Atom 2.Atom 3.Atom 4.Atom 5.Atom 6.Atom 7.Atom 8.Atom 9.Atom 10.Atom 11.Atom 11.Atom

=>

Uploading C:\Program Files\Stnexp\Queries\10577047.str



10/577,047

6-41 9-56 13-19 14-20 15-27 16-28 17-21 18-21 19-23 20-24 21-26 41-43 46-57 52-58 56-59 57-60 58-61 59-62 60-63 61-64 ring bonds: 1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 44-45 44-49 45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53 53-54 54-55 exact/norm bonds:
6-41 9-56 13-19 14-20 15-27 16-28 17-21 18-21 19-23 20-24 21-26 41-43 46-57 52-58 56-59 57-60 58-61 59-62 60-63 61-64 normalized bonds:
<math display="block">1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 44-45 44-49 46-57 52-58 56-59 57-60 58-61 59-62 60-63 61-64 normalized bonds:
<math display="block">1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 44-45 44-49 45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53 53-54 54-55 exact/normalized bonds:
<math display="block">1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 44-45 44-49 45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53 53-54 54-55 exact/normalized bonds:
<math display="block">1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 44-45 44-49 45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53 53-54 54-55 exact/normalized bonds:
61:0, S 62:N, [*1-*2], [*3-*4], [*5-*6], [*7-*8], [*9-*10]

G3:Cv,Ak

G4:[*11],[*12],[*13]

Match level :

| 124tom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 21:C

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

.1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 11:22:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 41069 TO ITERATE

4.9% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 809266 TO 833494
PROJECTED ANSWERS: 2881 TO 4511

Page 2

9 ANSWERS

L2 9 SEA SSS SAM L1

=> => s 11 sss ful

FULL SEARCH INITIATED 11:25:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 826351 TO ITERATE

 81.8% PROCESSED
 675878 ITERATIONS
 2506 ANSWERS

 91.4% PROCESSED
 755464 ITERATIONS
 2506 ANSWERS

 99.1% PROCESSED
 818956 ITERATIONS
 2506 ANSWERS

 100.0% PROCESSED
 826351 ITERATIONS
 2506 ANSWERS

SEARCH TIME: 00.00.53

L3 2506 SEA SSS FUL L1

=> => s 13

L4 27 L3

=> d 14 1-27 bib.ab.hitstr

- ANSWER 1 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN T. 4
- 2009:296443 CAPLUS AN
- DN 150:306672
- TI Preparation of phenylaminopyrimidine derivatives and analogs as protein kinase inhibitors
- IN Kamenecka, Theodore Mark; Jiang, Rong; Song, Xinyi; Lograsso, Philip; Cameron, Michael Darin
- PΑ The Scripps Research Institute, USA
- SO PCT Int. Appl., 278pp.
- CODEN: PIXXD2 DT Patent
- T.A
- English FAN. CNT 1

	PATENT NO.				KIND DATE			APPLICATION NO.					DATE					
								\										
PI	WO 2009032861				A1 (20090312))	WO 2008-US75151				20080903					
		W:															BY,	
																	EG,	
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
			KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
			ΜE,	MG,	MK,	MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	ΤJ,
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	zw		
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
			ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,
			TG,	BW,	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			AM,	ΑZ,	ΒY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM							
PRAI	US	2007	-969	849P		P		2007	0904									

OS

MARPAT 150:306672 AB Title compds. I [each Z independently = CH or N; each R1 independently = halo, CF3, (un)substituted alkyl, etc.; each R2 independently = halo, OCF3, NO2, etc.; or R1 and R2 that are attached to adjacent ring carbons are taken together with the ring atoms through which they are connected to form a heterocycloalkyl containing 1 or 2 oxygen atoms; R3 = H, Me, Et, CN, or halo; R4 = (un)substituted carbocyclic ring or heterocyclic ring containing 1 to 4 heteroatoms; m and n independently = 0 to 2 provided that their sum is 0 to 2; with provisions], and their pharmaceutically acceptable salts, are prepared and disclosed as protein kinase inhibitors. Thus, e.g., II was prepared by coupling of 4-bromo-2-fluorobenzonitrile with bis(pinacolato)diboron followed by coupling with 2.4-dichloropyrimidine and coupling with 4-(3-methyl-1H-1,2,4-triazol-1-yl)aniline (preparation given). Select I were evaluated in JNK inhibition assays and demonstrated IC50 values of ≤10 µM. I were disclosed as therapeutic agents that are useful as inhibitors of protein kinases, especially c-Jun N-terminal kinases (JNK), for use in treating conditions responsive to the inhibition of the JNK pathway.

1128097-21-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of phenylaminopyrimidine derivs. and analogs as protein kinase inhibitors)

1128097-21-1 CAPLUS

CN 2-Pyrimidinamine, N-[4-(3-methyl-1H-1,2,4-triazol-1-yl)phenyl]-4-[3-(4morpholiny1)-5-(trifluoromethoxy)pheny1]- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 2 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
1.4
AN
    2009:239210 CAPLUS
DN
    150:283069
    Preparation of 2-heteroarylaminopyrimidine derivatives as protein kinase
TI
    inhibitors
IN
    Chianelli, Donatella; Molteni, Valentina; Li, Xiaolin; Liu, Xiaodong;
     Nabakka, Juliet; Loren, Jon
PΑ
     IRM LLC, Bermuda
     PCT Int. Appl., 80pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
    English
FAN.CNT 1
     PATENT NO.
                         KIND
                                 DATE
                                             APPLICATION NO.
                                                                     DATE
                                             _____
                                           WO 2008-US73438
PТ
     WO 2009026204
                          A1
                               20090226
                                                                     20080818
         W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
             CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
             FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
             ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
             PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
         TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
             IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
             TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2007-957240P
                                 20070822
os
    MARPAT 150:283069
AB
    Title compds. I [R = H, alkyl; R1 = alkyl, alkenyl, alkynyl; R2 =
     substituted 6-membered nitrogen heterocycle containing up to 4 nitrogen atoms;
     Ar = (un)substituted (hetero)aryl, with provisions], and their
     pharmaceutically acceptable salts, are prepared and disclosed as protein
     kinase inhibitors. For example, compound II was prepared via amidation of
     5-[5-(4-methoxyphenyl)pyrimidin-2-ylamino]pyridine-2-carboxylic acid
     (preparation given) with N-BOC piperazine, followed by BOC deprotection. I
     demonstrated IC50 values in the range of 10 nM to 2 µM in kinase
     activity assays with fibroblast growth factor receptor (FGFR3). The
     invention is also directed to methods of treating, ameliorating, or
     preventing conditions associated with abnormal or deregulated protein kinase
    activity, such as asthma, atopic dermatitis, urticaria, irritable bowel
     syndrome, or fibrosis.
                      1123178-03-9P
TT
     1123178-00-6P
                                          1123178-04-0P
     1123178-05-1P
                       1123178-06-2P
                                          1123178-07-3P
     1123178-08-4P
                       1123178-09-5P
                                          1123178-10-8P
     1123178-11-9P
                       1123178-12-0P
                                          1123178-13-1P
     1123178-14-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of (heteroarylamino)pyrimidine derivs. as protein kinase
        inhibitors)
RM
     1123178-00-6 CAPLUS
CN
    Methanone, [5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-3-
```

pyridinyl]-1-piperazinyl- (CA INDEX NAME)

- RN 1123178-03-9 CAPLUS
- CN 2H-Fyran-4-carboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methyl-3-pyridinyl]tetrahydro- (CA INDEX NAME)

- RN 1123178-04-0 CAPLUS
- CN 3-Piperidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-2-methyl-3-pyridiny1]-1-ethyl-6-oxo- (CA INDEX NAME)

RN 1123178-05-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-cyclopropyl-N-[5-[[5-[4-(difluoromethoxy) phenyl]-2-pyrimidinyl]amino]-2-methyl-3-pyridinyl]-2-oxo-(OA INDEX NAME)

RN 1123178-06-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-pyridinyl]ethyl]- (CA INDEX NAME)

- RN 1123178-07-3 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-4-methyl-2-pyridinyl]ethyl]- (CA INDEX NAME)

- RN 1123178-08-4 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methyl-3-pyridinyl]ethyl]- (CA INDEX NAME)

- RN 1123178-09-5 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-3-pyridinyl]ethyl]- (CA INDEX NAME)

- RN 1123178-10-8 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-pyridinyl]methyl]- (CA INDEX NAME)

- RN 1123178-11-9 CAPLUS
- CN Ethanone, 2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2pyridinyl]-1-(4-hydroxy-1-piperidinyl)- (CA INDEX NAME)

- RN 1123178-12-0 CAPLUS
- CN 1-Propanone, 2-[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-pyridinyl]-3-hydroxy-1-(4-hydroxy-1-piperidinyl)- (CA INDEX NAME)

- RN 1123178-13-1 CAPLUS
- CN 1-Propanone, 2-[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-pyridinyl]-1-(4-hydroxy-1-piperidinyl)- (CA INDEX NAME)

- RN 1123178-14-2 CAPLUS
- CN 2-Pyridineacetamide, 5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidinyl]amino]- α -(hydroxymethyl)-N-(2-hydroxypropyl)- (CA INDEX NAME)

- IT 1123178-24-4P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of (heteroarylamino)pyrimidine derivs. as protein kinase inhibitors)
- RN 1123178-24-4 CAPLUS
- CN 3-Pyridinecarboxylic acid, 5-[[5-[4-(difluoromethoxy)phenyl]-2pyrimidinyl]amino]- (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 3 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
    2009;238950 CAPLUS
AN
DN
    150:283067
    Preparation of 5-(4-(haloalkoxy)phenyl)pyrimidine-2-amine compounds as
    protein kinase inhibitors
    Molteni, Valentina; Li, Xiaolin; Liu, Xiaodong; Chianelli, Donatella;
    Nabakka, Juliet; Loren, Jon; You, Shuli
PA
    IRM LLC, Bermuda
SO
    PCT Int. Appl., 137pp.
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 1
                               DATE
    PATENT NO.
                        KIND
                                           APPLICATION NO.
                                                                 DATE
                                           _____
                               20090226
PΙ
    WO 2009026276
                         A1
                                          WO 2008-US73573
                                                                 20080819
        W: AE, AG, AL, AM, AO, AT, AY, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
            CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
            PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
        TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
            IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
            TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
            TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2007-957260P
                               20070822
os
    MARPAT 150:283067
    Title compds. I [R1 = haloalkoxy having 1-6 F atoms; R2 = substituted
AB
    phenyl], and their pharmaceutically acceptable salts, are prepared and
    disclosed as protein kinase inhibitors. For example, compound II was prepared
    via Suzuki coupling of (5-bromopyrimidin-2-yl)-[4-(2-
    diethylaminoethoxy)phenyl]amine (preparation given) with
    4-(trifluoromethoxy) phenylboronic acid. I demonstrated IC50 values in the
    range of 10 nM to 2 µM in kinase activity assays with fibroblast growth
    factor receptor (FGFR3). The invention is also directed to methods of
    treating, ameliorating, or preventing conditions associated with abnormal or
    deregulated kinase activity, such as asthma, atopic dermatitis, urticaria,
    irritable bowel syndrome, or fibrosis.
    1123512-96-8P
                      1123512-99-1P
                                        1123513-90-5P
IΤ
    1123513-92-7P
    RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN
    (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
    PREP (Preparation); USES (Uses)
        (preparation of ((haloalkoxy)phenyl)pyrimidinylamine compds. as protein
       kinase inhibitors)
    1123512-96-8 CAPLUS
RN
    1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-
CN
```

(CA INDEX NAME) Absolute stereochemistry.

T. 4

pvrimidinvl]amino|phenvl]-3-hvdroxv-1-(4-hvdroxv-1-piperidinvl)-, (2R)-

RN 1123512-99-1 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)pheny1]-2pyrimidiny1]amino]pheny1]-3-hydroxy-1-(4-hydroxy-1-piperidiny1)-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 1123513-90-5 CAPLUS
- CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2pyrimidinyl]amino[phenyl]-3-hydroxy-1-(4-methyl-1-piperazinyl)-, (2R)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 1123513-92-7 CAPLUS
- CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)pheny1]-2pyrimidinyl]amino[pheny1]-3-hydroxy-1-(4-methyl-1-piperazinyl)-, (2S)-(CA INDEX NAME)

- IT 1123513-94-9P 1123514-14-6P 1123514-21-5P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of ((haloalkoxy)phenyl)pyrimidinylamine compds. as protein kinase inhibitors)
- RN 1123513-94-9 CAPLUS 1-Propanone, 2-(4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-3-hydroxy-1-[3-(trifluoromethyl)-1-piperazinyl]-(CA INDEX NAME)

- RN 1123514-14-6 CAPLUS CN 4,7-Diazaspiro[2.5]o
 - N 4,7-Diazaspiro[2.5]octane-7-carboxamide,
 - N-[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-2-methylpheny1]-(CA INDEX NAME)

RN 1123514-21-5 CAPLUS

CN 1-Piperazinecarboxamide, N-15-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidinyl]amino]-2-methylphenyl]-3-(trifluoromethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123514-20-4 CMF C24 H23 F5 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

ΙT 1123512-27-5P 1123512-30-0P 1123512-33-3P 1123512-36-6P 1123512-40-2P 1123512-43-5P 1123512-52-6P 1123512-46-8P 1123512-47-9P 1123512-55-9P 1123512-59-3P 1123512-62-8P 1123512-65-1P 1123512-68-4P 1123512-69-5P 1123512-74-2P 1123512-75-3P 1123512-80-0P 1123512-83-3P 1123512-86-6P 1123512-87-7P 1123512-93-5P 1123513-02-9P 1123513-03-0P 1123513-08-5P 1123513-09-6P 1123513-14-3P 1123513-17-6P 1123513-20-1P 1123513-23-4P 1123513-26-7P 1123513-29-0P 1123513-32-5P 1123513-35-8P 1123513-38-1P 1123513-41-6P 1123513-44-9P 1123513-47-2P 1123513-50-7P 1123513-53-0P 1123513-56-3P 1123513-59-6P 1123513-62-1P 1123513-65-4P 1123513-68-7P 1123513-71-2P 1123513-74-5P 1123513-76-7P 1123513-78-9P 1123513-80-3P 1123513-82-5P 1123513-84-7P 1123513-86-9P 1123513-88-1P 1123513-98-3P 1123513-96-1P 1123513-99-4P 1123514-02-2P 1123514-06-6P 1123514-04-4P 1123514-08-8P 1123514-10-2P 1123514-12-4P 1123514-16-8P 1123514-18-0P 1123514-20-4P 1123514-25-9P 1123514-26-0P 1123514-29-3P 1123514-31-7P 1123514-33-9P 1123514-35-1P 1123514-37-3P 1123514-39-5P 1123514-41-9P

1123514-43-1P	1123514-44-2P	1123514-47-5P
1123514-49-7P	1123514-51-1P	1123514-53-3P
1123514-55-5P	1123514-58-8P	1123514-60-2P
1123514-62-4P	1123514-64-6P	1123514-66-8P
1123514-68-0P	1123514-70-4P	1123514-72-6P
1123514-74-8P	1123514-76-0P	1123514-79-3P
1123514-81-7P	1123514-83-9P	1123514-85-1P
1123514-87-3P	1123514-89-5P	1123514-91-9P
1123514-93-1P	1123514-95-3P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of ((haloalkoxy)phenyl)pyrimidinylamine compds. as protein kinase inhibitors)

- RN 1123512-27-5 CAPLUS
- CN 2-Pyrimidinamine, N-[4-[2-(diethylamino)ethoxy]phenyl]-5-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

- RN 1123512-30-0 CAPLUS
- CN 2-Pyrimidinamine, N-[4-[2-(diethylamino)ethoxy]phenyl]-5-[4-(difluoromethoxy)phenyl]- (CA INDEX NAME)

- RN 1123512-33-3 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenoxy]ethyl]- (CA INDEX NAME)

- RN 1123512-36-6 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]methyl]- (CA INDEX NAME)

RN 1123512-40-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[4-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]aminolphenyl]methyl]- (CA INDEX NAME)

RN 1123512-43-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[4-[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]ethyl]- (CA INDEX NAME)

RN 1123512-46-8 CAPLUS

CN 2-Piperidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-1-methyl- (CA INDEX NAME)

RN 1123512-47-9 CAPLUS

CN 2-Piperidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM :

CRN 1123512-46-8

CMF C25 H27 F2 N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123512-52-6 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-2-carboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-, (15, 2R, 5R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123512-55-9 CAPLUS

CN 2-Piperidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]- (CA INDEX NAME)

RN 1123512-59-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-2-methy1pheny1]-5-oxo-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123512-62-8 CAPLUS

CN 3-Piperidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-6-oxo- (CA INDEX NAME)

RN 1123512-65-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]]-2-pyrimidinyl]amino]-2-methylphenyl]-6-fluoro- (CA INDEX NAME)

RN 1123512-68-4 CAPLUS

CN 1H-Imidazole-5-carboxamide, N-[5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidinyl]amino]-2-methylpheny1]- (CA INDEX NAME)

RN 1123512-69-5 CAPLUS

CN 1H-Imidazole-5-carboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123512-68-4 CMF C22 H18 F2 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123512-74-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[2-methy1-5-[[5-[4-(trifluoromethoxy)pheny1]-2-pyrimidiny1]amino]pheny1]-5-oxo-, (2S)- (CA INDEX NAME)

RN 1123512-75-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[2-methyl-5-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-5-oxo-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123512-74-2 CMF C23 H20 F3 N5 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 1123512-80-0 CAPLUS

CN 3-Pyrrolidinecarboxamide, 1-cyclopropyl-N-[5-[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-5-oxo- (CA INDEX NAME)

- RN 1123512-83-3 CAPLUS
- CN 3-Piperidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-2-methylpheny1]-1-ethyl-6-oxo- (CA INDEX NAME)

- RN 1123512-86-6 CAPLUS
- CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-N-(2-fluoroethy1)-<math>\alpha$ -(hydroxymethy1)- (CA INDEX NAME)

$$\begin{array}{c} {\rm CH_2-OH} \\ {\rm CH_2-OH} \\ {\rm CH-C-NH-CH_2-CH_2F} \\ {\rm O} \\ \end{array}$$

- RN 1123512-87-7 CAPLUS
- CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-(2-fluoroethyl)-a-(hydroxymethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
 - CM 1
 - CRN 1123512-86-6
 - CMF C22 H21 F3 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123512-93-5 CAPLUS

NN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2pyrimidinyl]amino]phenyl]-3-hydroxy-1-(4-hydroxy-1-piperidinyl)- (CA INDEX NAME)

RN 1123513-02-9 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]α-(hydroxymethyl)-N-[(2R)-2-hydroxypropyl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-03-0 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-\alpha-(hydroxymethy1)-N-[(2R)-2-hydroxypropy1]-, (\alphaR)-,$

2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123513-02-9 CMF C23 H24 F2 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123513-08-5 CAPLUS

Absolute stereochemistry.

RN 1123513-09-6 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-\alpha-(hydroxymethy1)-N-[(2R)-2-hydroxypropy1]-, (<math>\alpha$ 5)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123513-08-5 CMF C23 H24 F2 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123513-14-3 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]- α -(hydroxymethy1)-N-[(2S)-2-hydroxypropy1]-, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-17-6 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]
α-(hydroxymethyl)-N-[(2S)-2-hydroxypropyl]-, (αS)- (CA INDEX NAME)

- RN 1123513-20-1 CAPLUS
- CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]α-(hydroxymethy1)-N-[(2S)-2-hydroxypropy1]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1123513-23-4 CAPLUS
- CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-N-(trans-4-hydroxycyclohexy1)-α-(hydroxymethy1)- (CA INDEX NAME)

Relative stereochemistry.

- RN 1123513-26-7 CAPLUS
- CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-(trans-4-hydroxycyclohexyl)-α-(hydroxymethyl)-, (αR)- (CA INDEX NAME)

- RN 1123513-29-0 CAPLUS
- CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-(trans-4-hydroxycyclohexyl)-a-(hydroxymethyl)-, (aS)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1123513-32-5 CAPLUS
- CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-(cis-4-hydroxycyclohexyl)-α-(hydroxymethyl)-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1123513-35-8 CAPLUS
- CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-(cis-4-hydroxycyclohexyl)-α-(hydroxymethyl)-, (αS)- (CA INDEX NAME)

- RN 1123513-38-1 CAPLUS
- CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-N-(cis-4-hydroxycyclohexy1)-<math>\alpha$ -(hydroxymethy1)- (CA INDEX NAME)

Relative stereochemistry.

- RN 1123513-41-6 CAPLUS
- CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2pyrimidinyl]amino]phenyl]-3-hydroxy-1-(3-hydroxy-1-piperidinyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO}-\text{CH}_2 \\ & \text{HO} \end{array} \begin{array}{c} \text{CH}_2 \\ & \text{NH} \end{array} \begin{array}{c} \text{NH} \\ & \text{N} \end{array}$$

- RN 1123513-44-9 CAPLUS
- CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)pheny1]-2pyrimidiny1]amino]pheny1]-3-hydroxy-1-[(3S)-3-hydroxy-1-piperidiny1]- (CA INDEX NAME)

- RN 1123513-47-2 CAPLUS
- CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2pyrimidinyl]amino|phenyl]-3-hydroxy-1-[(3S)-3-hydroxy-1-piperidinyl]-, (2R) (CA INDEX NAME)

Absolute stereochemistry.

- RN 1123513-50-7 CAPLUS
- CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2pyrimidinyl]amino[phenyl]-3-hydroxy-1-[(3S)-3-hydroxy-1-piperidinyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1123513-53-0 CAPLUS
- CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2pyrimidinyl]amino]phenyl]-3-hydroxy-1-[(3R)-3-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 1123513-56-3 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2pyrimidinyl]amino|phenyl]-3-hydroxy-1-[(3R)-3-hydroxy-1-piperidinyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-59-6 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)pheny1]-2pyrimiddinyl]amino[pheny1]-3-hydroxy-1-[(3R)-3-hydroxy-1-piperidiny1]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-62-1 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-(2-hydroxycyclopentyl)-α-(hydroxymethyl)- (CA INDEX NAME)

RN 1123513-65-4 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N[(1R, ZR)-2-hydroxycyclopentyl]-a-(hydroxymethyl)-, (aR)- (CA
INDEX NAME)

Absolute stereochemistry.

RN 1123513-68-7 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N[(1S,2R)-2-hydroxycyclopentyl]-\alpha-(hydroxymethyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-71-2 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-N-[(1S,2S)-2-hydroxycyclopenty1]-<math>\alpha$ -(hydroxymethy1)- (CA INDEX NAME)

- RN 1123513-74-5 CAPLUS
- CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N[(1R, 2R)-2-hydroxycyclopentyl]-a-(hydroxymethyl)-, (aS)- (CA
 INDEX NAME)

Absolute stereochemistry.

- RN 1123513-76-7 CAPLUS
- CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-[(18,28)-2-hydroxycyclopentyl]- α -(hydroxymethyl)-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1123513-78-9 CAPLUS
- CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N[(1S, 2R)-2-hydroxycyclopentyl]-a-(hydroxymethyl)-, (aS)- (CA
 INDEX NAME)

$$F_2CH \xrightarrow{OH} OH \\ N \\ N \\ N \\ H \\ H \\ O \\ HO$$

- RN 1123513-80-3 CAPLUS
- CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N[(15,25)-2-hydroxycyclopentyl]-a-(hydroxymethyl)-, (aR)- (CA
 INDEX NAME)

Absolute stereochemistry.

- RN 1123513-82-5 CAPLUS
- CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-[(18,2R)-2-hydroxycyclopentyl]-a-(hydroxymethyl)-, (aR)- (CA INDEX NAME)

$$F_2CH \xrightarrow{O} OH \\ N \\ N \\ N \\ H \\ O \\ HO$$

- RN 1123513-84-7 CAPLUS
- CN 2-Propen-1-one, 2-[4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidinvl]amino|pheny1]-1-(4-hydroxy-1-piperidinvl)- (CA INDEX NAME)

RN 1123513-86-9 CAPLUS

CN Ethanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-1-(4-hydroxy-1-piperidinyl)- (CA INDEX NAME)

RN 1123513-88-1 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2pyrimidinyl]amino[phenyl]-3-hydroxy-1-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 1123513-96-1 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2pyrimidinyl]amino[phenyl]-3-hydroxy-1-[4-methyl-3-(trifluoromethyl)-1piperazinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH2} \\ & \text{N-C-CH} \\ & \text{N} \\ & \text{CF}_3 \end{array}$$

RN 1123513-98-3 CAPLUS

CN 1,4-Piperidinedicarboxamide, N1-[5-[[5-[4-(difluoromethoxy)phenyl]-2pyrimidinyl]amino]-2-methylphenyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{N} \\ \text{C-NH} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{O} \\ \text{C-CHF}_2 \\ \text{O} \\$$

RN 1123513-99-4 CAPLUS

CN 1,4-Piperidinedicarboxamide, N1-[5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidinyl]amino]-2-methylphenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123513-98-3

CMF C25 H26 F2 N6 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123514-02-2 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-2-methylpheny1]-4-methyl- (CA INDEX NAME)

RN 1123514-04-4 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidinyl]amino]-2-methylphenyl]-4-(methylsulfonyl)- (CA INDEX NAME)

- RN 1123514-06-6 CAPLUS
- CN 1-Piperazinecarboxamide, 4-acety1-N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]- (CA INDEX NAME)

- RN 1123514-08-8 CAPLUS
- CN Urea, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-N'-(1,3-dimethyl-1H-pyrazol-5-yl)- (CA INDEX NAME)

- RN 1123514-10-2 CAPLUS
- CN 4-Morpholinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]- (CA INDEX NAME)

- RN 1123514-12-4 CAPLUS
- CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)pheny1]-2-

pyrimidiny1]amino]-2-methy1pheny1]-4-(2-hydroxyethy1)- (CA INDEX NAME)

- RN 1123514-16-8 CAPLUS
- CN 4,7-Diazaepiro[2.5]octane-7-carboxamide,
 N-[5-[[5-[4-(diflucromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]4-methyl- (CA INDEX NAME)

- RN 1123514-18-0 CAPLUS
- CN 4,7-Diazaspiro[2.5]octane-7-carboxamide,
 N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]4-(2-hydroxyethyl)- (CA INDEX NAME)

RN 1123514-20-4 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-2-methylpheny1]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1123514-25-9 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-4-methyl-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1123514-26-0 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrinidinyl]amino]-2-methylphenyl]-4-methyl-3-(trifluoromethyl)-, 2, 2, 2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123514-25-9 CMF C25 H25 F5 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123514-29-3 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-4-methyl-3-oxo- (CA INDEX NAME)

RN 1123514-31-7 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)pheny1]-2pyrimidinyl]amino]-2-methylphenyl]-4-(2-hydroxyethyl)-3-oxo- (CA INDEX NAME)

- RN 1123514-33-9 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[2-[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenoxy]ethyl]- (CA INDEX NAME)

- RN 1123514-35-1 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[2-[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]ethyl]- (CA INDEX NAME)

- RN 1123514-37-3 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]methyl]- (CA INDEX NAME)

- RN 1123514-39-5 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-fluorophenoxy]ethyl]- (CA INDEX NAME)

RN 1123514-41-9 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]ethyl]- (CA INDEX NAME)

RN 1123514-43-1 CAPLUS

CN 2-Piperazinone, 4-[4-[[5-[4-(difluoromethoxy)pheny1]-2pyrimidiny1]amino]benzoy1]-1-methy1- (CA INDEX NAME)

RN 1123514-44-2 CAPLUS CN 2-Piperazinone, 4-[4-

2-Piperazinone, 4-[4-[[5-[4-(difluoromethoxy)pheny1]-2pyrimidiny1]aminojbenzoy1]-1-methy1-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123514-43-1 CMF C23 H21 F2 N5 O3

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 1123514-47-5 CAPLUS

CN 2-Piperazinone, 4=[4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]benzoy1]-1-(2-hydroxyethy1)- (CA INDEX NAME)

RN 1123514-49-7 CAPLUS

CN 2-Piperazinone, 4-[4-[(5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]benzoy1]- (CA INDEX NAME)

RN 1123514-51-1 CAPLUS

CN Methanone, [4-[[5-[4-(difluoromethoxy)phenyl]-2pyrimidinyl]amino]phenyl][3-(trifluoromethyl)-1-piperazinyl]- (CA INDEX NAME)

RN 1123514-53-3 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[4-[15-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino[phenyl]ethyl]-, ethyl ester (CA INDEX NAME)

- RN 1123514-55-5 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-hydroxyphenyl]ethyl]- (CA INDEX NAME)

- RN 1123514-58-8 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[1-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]ethyl]- (CA INDEX NAME)

- RN 1123514-60-2 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]methyl]- (CA INDEX NAME)

- HO₂C
- RN 1123514-62-4 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-fluorophenyl]methyl]- (CA INDEX NAME)

RN 1123514-64-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-hydroxyphenyl]methyl]- (CA INDEX NAME)

RN 1123514-66-8 CAPLUS

CN 2-Piperazinone, 4-[3-[[5-[4-(difluoromethoxy)pheny1]-2pyrimidiny1]amino]benzoy1]-1-(4-piperidiny1)- (CA INDEX NAME)

RN 1123514-68-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]benzoyl]-2-oxo-1-piperazinyl]-, 1-methylethyl ester (CA INDEX NAME)

RN 1123514-70-4 CAPLUS

CN 2H-Pyran-4-carboxamide, N-[1-[4-[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-2-hydroxyethyl]tetrahydro- (CA INDEX NAME)

RN 1123514-72-6 CAPLUS

CN 3-Piperidinecarboxamide, N-[1-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-2-hydroxyethyl]-1-ethyl-6-oxo- (CA INDEX NAME)

RN 1123514-74-8 CAPLUS

CN 3-Pyrrolidinecarboxamide, 1-cyclopropyl-N-[1-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-2-hydroxyethyl]-5-oxo-(CA INDEX NAME)

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RN 1123514-76-0 CAPLUS

CN 4-Isoxazolecarboxamide, N-[1-[4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]pheny1]-2-hydroxyethy1]-3,5-dimethy1- (CA INDEX NAME)

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PAGE 2-A

- RN 1123514-79-3 CAPLUS
- CN 5-Isoxazolecarboxamide, N-[1-[4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]pheny1]-2-hydroxyethy1]- (CA INDEX NAME)

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- RN 1123514-81-7 CAPLUS
- CN 5-Isoxazoleacetamide, N-[1-[4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]pheny1]-2-hydroxyethy1]-3-methy1- (CA INDEX NAME)

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RN 1123514-83-9 CAPLUS

CN 2H-Pyran-4-acetamide, N-[1-[4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]pheny1]-2-hydroxyethy1]tetrahydro- (CA INDEX NAME)

- RN 1123514-85-1 CAPLUS
- CN 1,3-Piperidinedicarboxamide, N3-[1-[4-[[5-[4-(difluoromethoxy)pheny1]-2pyrimidiny1]amino]pheny1]-2-hydroxyethy1]- (CA INDEX NAME)

- RN 1123514-87-3 CAPLUS
- CN 3-Azabicyclo[3.1.0]hexane-2-carboxamide,

N-[5-[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-(CA INDEX NAME)

- RN 1123514-89-5 CAPLUS
- CN 2-Pyrrolidinecarboxamide, N-[5-[[5-[4-(diffluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-5-oxo- (CA INDEX NAME)

- RN 1123514-91-9 CAPLUS
- CN 2-Pyrrolidinecarboxamide, N-[2-methy1-5-[[5-[4-(trifluoromethoxy)pheny1]-2-pyrimidinyl]amino]phenyl]-5-oxo- (CA INDEX NAME)

RN 1123514-93-1 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]α-(hydroxymethyl)-N-(2-hydroxypropyl)- (CA INDEX NAME)

$$\begin{array}{c} \mathbf{N} \\ \mathbf$$

- RN 1123514-95-3 CAPLUS
- CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-N-(4-hydroxycyclohexy1)-<math>\alpha$ -(hydroxymethy1)- (CA INDEX NAME)

- IT 1123515-96-7P
- 1123515-98-9P 1123516-01-7P
- 1123516-04-0P
- 1123516-06-2P 1123516-08-4P
- 1123516-10-8P
- RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation of ((haloalkoxy)phenyl)pyrimidinylamine compds. as protein kinase inhibitors)
- RN 1123515-96-7 CAPLUS
- CN Benzeneacetic acid, $4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-\alpha-(hydroxymethy1)-, (<math>\alpha$ R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1123515-98-9 CAPLUS
- CN Benzeneacetic acid, 4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]- α -(hydroxymethy1)-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1123516-01-7 CAPLUS
- CN 4,7-Diazaspiro[2.5]octane-7-carboxamide, N-[5-[[5-[4-(difluoromethoxy)-benyl]-2-pyrimidinyl]amino]-2-methylphenyl]-4-(phenylmethyl)- (CA INDEX NAME)

- RN 1123516-04-0 CAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[[[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]amino]carbonyl]-2-(trifluoromethyl)-, ethyl ester (CA INDEX NAME)

- RN 1123516-06-2 CAPLUS
- CN Ethanol, 2-[3-[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]phenoxy]-, 1-methanesulfonate (CA INDEX NAME)

- RN 1123516-08-4 CAPLUS
- CN Benzeneethano1, 3-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-, 1-methanesulfonate (CA INDEX NAME)

- RN 1123516-10-8 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]methyl]-, methyl ester (CA INDEX NAME)

IT	1123515-34-3P 1123515-42-3P	1123515-38-7P 1123515-44-5P	1123515-40-1P 1123515-47-8P
	1123515-49-0P	1123515-57-0P	1123515-59-2P
	1123515-61-6P	1123515-63-8P	1123515-65-0P
	1123515-67-2P	1123515-69-4P	1123515-81-0P
	1123515-83-2P	1123515-85-4P	1123515-87-6P
	1123515-92-3P		

- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of ((haloalkoxy)phenyl)pyrimidinylamine compds. as protein kinase inhibitors)
- RN 1123515-34-3 CAPLUS
- CN Benzeneacetic acid, 4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]α-(hydroxymethy1)- (CA INDEX NAME)

RN 1123515-38-7 CAPLUS

CN 2-Pyrimidinamine, 5-[4-(difluoromethoxy)phenyl]-N-(4-methyl-3-nitrophenyl)-(CA INDEX NAME)

RN 1123515-40-1 CAPLUS

CN 1,3-Benzenediamine, N1-[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]-4-methyl- (CA INDEX NAME)

RN 1123515-42-3 CAPLUS

CN 2-Pyrimidinamine, N-(4-methyl-3-nitrophenyl)-5-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RN 1123515-44-5 CAPLUS

CN 1,3-Benzenediamine, 4-methyl-N1-[5-[4-(trifluoromethoxy)phenyl]-2pyrimidinyl]- (CA INDEX NAME)

RN 1123515-47-8 CAPLUS

CN Benzeneacetic acid, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

RN 1123515-49-0 CAPLUS

CN Benzeneacetic acid, $4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-\alpha-(hydroxymethy1)-, ethy1 ester (CA INDEX NAME)$

RN 1123515-57-0 CAPLUS

CN Phenol, 3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 1123515-59-2 CAPLUS

CN Ethanol, 2-[3-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenoxy]-(CA INDEX NAME)

$$\texttt{F}_2\texttt{CH}-\texttt{O} \\ \texttt{N} \\ \texttt{NH} \\ \texttt{O}-\texttt{CH}_2-\texttt{CH}_2-\texttt{O} \\ \texttt{E}_2\texttt{CH}-\texttt{O} \\ \texttt{O}-\texttt{CH}_2-\texttt{CH}_2-\texttt{O} \\ \texttt{O}-\texttt{CH}_2-\texttt{CH}_2-\texttt{CH}_2-\texttt{CH}_2-\texttt{O} \\ \texttt{O}-\texttt{CH}_2-\texttt{$$

RN 1123515-61-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenoxy]ethyl]-, ethyl ester (CA INDEX NAME)

RN 1123515-63-8 CAPLUS

CN Benzeneethanol, 3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-(CA INDEX NAME)

RN 1123515-65-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]ethyl]-, ethyl ester (CA INDEX NAME)

RN 1123515-67-2 CAPLUS

CN Benzenemethanol, 3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-(CA INDEX NAME)

RN 1123515-69-4 CAPLUS

CN Benzenemethanol, 3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-,

1-methanesulfonate (CA INDEX NAME)

- RN 1123515-81-0 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-fluorophenoxy]ethyl]-, methyl ester (CA INDEX NAME)

- RN 1123515-83-2 CAPLUS
- CN Benzeneethanol, 5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methyl- (CA INDEX NAME)

- RN 1123515-85-4 CAPLUS
- CN Benzeneethanol, 5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methyl-, 1-methanesulfonate (CA INDEX NAME)

- RN 1123515-87-6 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]ethyl]-, ethyl ester (CA INDEX NAME)

- RN 1123515-92-3 CAPLUS
- CN Benzoic acid, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2008:1127907 CAPLUS
- DN 149:402373
- TI (Phenylamino)pyrimidine derivatives as protein kinases inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
- IN Burns, Christopher John; Donohue, Andrew Craig; Feutrill, John Thomas; Ngugen, Thao Lien Thi; Wilks, Andrew Frederick; Zeng, Jun
- PA Cytopia Research Pty Ltd, Australia
- SO PCT Int. Appl., 104pp.
- CODEN: PIXXD2
- DT Patent
- LA English

	PATEN	NO.			KIND DATE					APPLICATION NO.						DATE			
PI	WO 2008109943					A1 (20080918)					008-	AU33		20080312					
	W	: AE,	AG,	AL,	AM,	AQ.	AT,	AU,	Αz,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,		
		CA,	CH,	CN,	CO,	CR,	_C0	wez,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,		
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,		
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,		
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,		
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,		
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	zw					
	R	V: AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,		
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	ΜT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,		
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,		
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,		
		AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM									
PRAI	US 20	7-894	1264P		P		2007	0312											

20071221

US 2007-16252P

OS MARPAT 149:402373

The invention relates to (phenylamino)pyrimidine derivs. of formula I, AB which are inhibitors of protein kinases including JAK kinases. In particular, the compds. are selective for JAK2 kinases. The kinase inhibitors can be used in the treatment of kinase associated diseases such as immunol. and inflammatory diseases including organ transplants; hyperproliferative diseases including cancer and myeloproliferative diseases; viral diseases; metabolic diseases; and vascular diseases. Compds. of formula I wherein O and Z are independently N and CR1; R1 is H. halo, R2, OR2, OH, R4, OR4, CN, CF3, (CH2)1-3-N(R2)2, NO2, etc.; R2 is (un) substituted C1-4 alkyl and (un) substituted C1-4 alkylene where up to two carbon atoms can be optionally replaced with CO, NH and derivs., CONH and derivs., S. SO2 and O. R4 is NH2 and derivs., (un) substituted (thio)morpholino, (un)substituted thiomorpholino-1-oxide, etc.; R6-R10 are independently H, RxCN, halo, (un) substituted C1-4 alkyl, OR1, CO2R1, N(R1)2, NO2, CON(R1)2, etc.; Rx is absent, (un)substituted C1-6 alkylene where up to two carbon atoms can be optionally replaced with CO, NSO2R1, CONH and derivs., S, SO2 and O; R11 is H, halo, (un) substituted C1-4 alkyl, OR2, CO2R2, CN, CON(R1)2 and CF3; and their enantiomers, prodrugs and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepd.via Suzuki coupling of 4-(ethoxycarbonyl)phenylboronic acid with 2,4-dichloropyrimidine followed by amination with 4-morpholinoaniline, hydrolysis and amidation with aminoacetonitrile. All the invention compds. were evaluated for their protein kinases inhibitory activity. From the assay, it was determined that II exhibited an IC50 value of < 5 µM against JAK2.

IT 1056635-77-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (phenylamino)pyrimidine derivs. as protein kinase inhibitors useful in treatment of diseases)

- RN 1056635-77-8 CAPLUS
- CN Acetamide, 2-cyano-N-[4-[2-[[4-(4-morpholinyl)phenyl]amino]-4-pyrimidinyl]-2-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS) RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 5 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN T. 4
- 2008:1006418 CAPLUS AN
- DN 149:288795
- TI New phenyl(4-phenylpyrimidin-2-yl)amine derivatives, their preparation as IKK inhibitors and their pharmaceutical compositions
- Bouaboula, Monsif; Casellas, Pierre; Dudal, Sherri; Floutard, Regine; Mendez-Perez, Maria; Nguefack, Jean-Flaubert; Olsen, Jacob-Alsboek; Tonnerre, Bernard; Wagnon, Jean
- Sanofi-Aventis, Fr.
- SO PCT Int. Appl., 142pp. CODEN: PIXXD2
- DT Patent
- LA French
- FAN CNT 2

FAN.		ENT I	NO.			KIND DATE APPLICATION NO.							DATE							
PI	WO	2008	0990	74		A1(2008	0821)	WO 2	008-	FR3			20080102				
		W:	ΑE,	AG,	AL,	AM,	ΑO,	AT,	AU,	Kz,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,		
			CA,	CH,	CN,	CO,	CR,	"GRim	ez,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,		
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,		
			KG,	KM,	KN,	KP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,		
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,		
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,		
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	zw					
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,		
			ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,		
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,		
			TG,	BW,	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,		
			AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM									
	FR	2911	139			A1	A1 20080711 FR 2007-65								20070105					
PRAI	FR	2007		A	A 20070105															

MARPAT 149:288795 OS

AB The invention is related to the preparation of

phenyl(4-phenylpyrimidin-2-yl)amines I (R, R5 = independently H, halo; R2-4 = independently H, halo, CN, CONH2, alkyl, etc.; Z = CO, SO2; NDW is defined as follows: (a) either W = ring(Y) and D = H, (un)substituted cycloalkyl, alk(en/yn)yl; ring(Y) = 4-10 membered saturated or partially saturated

mono- or bicyclyl with Y = O, S, SO, SO2, NH and derivs., CO, etc., e.g. pyrrolidinyl, dioxothiophenyl, and tetrahydropyranyl, with proviso; (b) or NWD = 4-7 saturated membered ring substituted by 2 substituents on the same carbon and optionally containing a C bridge comprising 1-3 C's], their isomers, and their mineral and organic acid addition salts as IKK inhibitors. For instance, S-methylation of 2-thiopyrimidin-4-ol with Me iodide, reaction of the methylsulfanyl intermediate with aniline, chlorination of pyrimidinol with POC13, chlorosulfonation of the aniline intermediate with chlorosulfonic acid, reaction of the sulfonyl chloride with 4-[methyl(tert-butoxycarbonyl)amino]piperidine, Suzuki coupling of the chloride with 4-fluorophenylboronic acid and cleavage of the tert-butoxycarbonyl group gave pyrimidine II (m.p. = 202.9°). inhibited IKK1 and IKK2 with an IC50 <10 µM. Pyrimidines I displayed IC50's <10 μM against proliferation of breast, prostate, colon, and lung cancer, glioblastoma and leukemia cell lines. Thus, I and their pharmaceutical compns., are useful for treating inflammation (no data), diabetes (no data), and neoplasm (data).

1049105-11-4P, 4-[(Pyrrolidin-1-y1)methy1]-1-[[4-[[4-(4trifluoromethoxyphenyl)pyrimidin-2-yl]amino]phenyl]sulfonyl]piperidin-4-ol 1049105-24-9P 1049105-35-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of phenyl(4-phenylpyrimidin-2-yl)amines as IKK inhibitors for treating inflammation, diabetes, and neoplasm)

RN 1049105-11-4 CAPLUS

CN

4-Piperidinol, 4-(1-pyrrolidinylmethyl)-1-[[4-[[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]sulfonyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A



RN 1049105-24-9 CAPLUS

CN 2-Pyrimidinamine, N-[4-[[4-[(R)-amino(4-fluorophenyl)methyl]-1-piperidinyl]sulfonyl]phenyl]-4-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1049105-35-2 CAPLUS
- CN 2-Pyrimidinamine, N-[4-[[4-[(S)-amino(4-fluorophenyl)methyl]-1-piperidinyl]sulfonyl]phenyl]-4-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 6 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
1.4
     2007:1274706 CAPLUS
AN
DN
     147:522221
     Preparation of carboxylic acid derivatives containing thiazole moiety for
     the treatment of diabetic hyperlipidemia
     Tamakawa, Hiroki; Iizuka, Hiroyuki; Sakai, Kaoru
PA
     Mitsubishi Pharma Corporation, Japan
SO
     PCT Int. Appl., 517pp.
     CODEN: PIXXD2
     Patent
LA
     Japanese
FAN.CNT 1
                                 DATE
     PATENT NO.
                          KIND
                                             APPLICATION NO.
                                                                      DATE
     WO 2007126043
                                 20071108
                                             WO 2007-JP59151
PT
                           A1
                                                                      20070427
         W: AE, AG, AL, AM, AY AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA.
             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB,
             GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK,
             MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
         TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
PRAI JP 2006-122804
                           Δ
                                 20060427
OS
    MARPAT 147:522221
AΒ
     Title compds. I [R1, R2 = H or alkyl; R1 and R2 may combine to form a
     cycloalkyl group; R3 = H or alkyl; R4 = H, alkyl or aryl; n = 1-5; Y =
     oxygen, sulfur atom, -NR5-, etc.; R5 = H, alkyl, cycloalkyl-alkyl, etc.; Z
     = cycloalkyl, aryl, arylalkyl, etc.] or pharmaceutically acceptable salts,
     hydrates or solvates thereof were prepared For example, a multi-step
     synthesis of compound II, starting from 4-chloro-3-oxobutanoic acid Et
     ester, was given. Compds. herein were tested for plasma triglyceride (TG)
     decreasing effect, free fatty acid (FFA) decreasing effect and serum HDL
     cholesterol increasing effect.
                       886529-11-9P
     886529-09-5P
                                         886529-18-6P
     886529-19-7P
                       886529-20-0P
                                         886529-21-1P
```

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

886529-45-9P

886530-11-6P

(preparation of carboxylic acid derivs, containing thiazole moiety for treatment

886529-63-1P

886535-99-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

- of diabetic hyperlipidemia)
- RN 886529-09-5 CAPLUS

886529-44-8P

886529-64-2P

CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[4-(trifluoromethoxy)phenyl]-2pvrimidinvl[amino]ethvl]-2-thiazolvl]thio]- (CA INDEX NAME)

- RN 886529-11-9 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-[2-[methyl[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{HO}_2\text{C}-\text{C}-\text{S} \\ \text{Me} \end{array} \\ \text{S} \\ \text{CH}_2-\text{CH}_2-\text{N} \\ \text{N} \\ \text{N} \\ \text{O} \\ \text{CF} \\ \text{O} \\ \text{CF} \\ \text{O} \\ \text{O} \\ \text{CF} \\ \text{O} \\ \text{O}$$

- RN 886529-18-6 CAPLUS
- CN Propanoic acid, 2-[[4-[2-[ethy1[5-[4-(trifluoromethoxy)pheny1]-2-pyrimidiny1]amino]ethy1]-2-thiazoly1]thio]-2-methy1- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{HO}_2\text{C}-\text{C}-\text{S} \\ \text{Me} \end{array} \\ \text{S} \\ \begin{array}{c} \text{CH}_2-\text{CH}_2-\text{N} \\ \text{N} \\ \text{N} \end{array} \\ \begin{array}{c} \text{O}-\text{CF} \\ \text{N} \\ \text{O} \end{array}$$

- RN 886529-19-7 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-[2-[(1-methylethyl)[5-[4-(trifluoromethoxy]phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-(CA INDEX NAME)

- RN 886529-20-0 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[4-(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

RN 886529-21-1 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[3-(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

RN 886529-44-8 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[3-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 886529-45-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[methyl[5-[3-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \\ & \text{HO}_2\text{C} - \text{C} - \text{S} & \\ & \text{Me} & \text{S} & \\ & & \text{CH}_2 - \text{CH}_2 - \text{N} & \\ & & \text{N} & \\ & & \text{O} - \text{CF}_3 \\ \end{array}$$

●x HC1

- RN 886529-63-1 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-methyl-5-[2-[[5-[4-(trifluoromethoxy)]phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

- RN 886529-64-2 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-methyl-5-[2-[methyl[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

Me HO2C-C-S N Me S-CH2 CH2 N-Me
$$\mathbf{x} = \mathbf{x} + \mathbf{x$$

- RN 886530-11-6 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-[2-[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Ho}_2\text{C} - \begin{array}{c} \text{C} \\ \text{C} \\ \text{S} \end{array} \end{array} \\ \begin{array}{c} \text{CH}_2 - \text{CH}_2 - \text{NH} \\ \text{N} \\ \end{array} \\ \begin{array}{c} \text{O} - \text{CF}_3 \\ \end{array}$$

●x HCl

- RN 886535-99-5 CAPLUS
- CN Acetic acid, 2-[[4-[2-[[5-[4-(trifluoromethoxy)phenyl]-2pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

II 886529-08-4P 886529-10-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of carboxylic acid derivs. containing thiazole moiety for treatment $% \left(1\right) =\left(1\right) +\left(1\right) +\left$

of diabetic hyperlipidemia)

RN 886529-08-4 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, 1,1-dimethylethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{O} \text{ Me} \\ \text{t-BuO-C-C-S} \\ \text{Me} \end{array} \\ \text{S} \\ \text{CH}_2\text{-CH}_2\text{-NH} \\ \text{N} \\ \end{array}$$

RN 886529-10-8 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[methyl[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, 1,1-dimethylethyl ester (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2006:1253175 CAPLUS
- DN 146:27856
- TI Preparation of 4-amino pyrimidine compounds as modulators of ATP-binding cassette transporters for treating disease
- IN Hadida Ruah, Sara S.; Hazlewood, Anna R.; Grootenhuis, Peter D. J.; Singh, Ashvani K.; Cleveland, Thomas; Van Goor, Frederick F.
- PA Vertex Pharmaceuticals Incorporated, USA
- SO PCT Int. Appl., 106 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

			NO.			KIND DATE						LICAT	DATE							
PI			A2 (20061130) WO 2006-US A3 (20070726)								712 20060522									
		W:	ΑE,	AG,	AL,	AM,	AT,	~AU.	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,		
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,		
			KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,		
			MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,		
			SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR.	TT,	TZ,	UA,	UG,	US,	UZ,	VC,		
			VN,	YU,	ZA,	ZM,	zw													
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,		
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
									ΑP,											
	ΑU	2006251624																		
		2609												20060522						
						A1 20070510														
	EP														20060522					
		R:										ES,								
							LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,		
				HR,	MK,															
		2008				T										20060522				
		2007														20071123				
		1012				A			0716		CN 2	2006-	8002	5869		20080115				
PRAI																				
	MO	2006	-US1	9712		W		2006	0522											

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 146:27856; MARPAT 146:27856

- AB 4-Amido-pyrimiddine compds., derivs. and compns. thereof, and synthetic methods described are useful for modulating ATP-Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Conductance Regulator ("CFTR"). The present invention also relates to methods of treating ABC transporter mediated diseases using such modulators. The compds of the invention have general formula 1 (wherein Ra = H, (un)substituted aliphatic, (un)substituted aryl, etc.; Rb = (un)substituted aliphatic, (un)substituted cycloaliph., or aliphatic; Rd = H, (un)substituted aliphatic or aryl, etc.; A = (un)substituted aryl or beteraryl). For example 2-(dimethylamino)-6-(2-methynaponylaminishes)
- Rd = H, (un)substituted aliphatic or aryl, etc.; A = (un)substituted aryl or heteroaryl). For example, 2-(dimethylamino)-6-(2-methoxyphenyl)pyrimidine-4-carboxamide was prepared in 5 steps via dioxobutanoic acid, methylthio, and sulfinyl intermediates.
- IT 915965-79-6P, 2-Diethylamino-6-[3-

(trifluoromethoxy)phenyllpyrimidine-4-carboxamide 915967-01-0P, 2-Diethylamino-6-[4-(trifluoromethoxy)phenyllpyrimidine-4-carboxamide RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 4-amino pyrimidine compds. as modulators of ATP-binding cassette transporters for treating disease) 915965-79-6 CAPLOS

RN 915965-79-6 CAPLUS CN 4-Pyrimidinecarboxamide, 2-(diethylamino)-6-[3-(trifluoromethoxy)phenyl]-(CA INDEX NAME)

RN 915967-01-0 CAPLUS

CN 4-Pyrimidinecarboxamide, 2-(diethylamino)-6-[4-(trifluoromethoxy)phenyl]-(CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

10/577,047

- ANSWER 8 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN 1.4
- 2006:1089222 CAPLUS AN
- DN 145:438632
- Preparation of phenylheteroaryl compounds, herbicides containing them, and their usage
- IN Takizawa, Eiji; Kumata, Shuji; Kiyokawa, Takahiro
- PA Nihon Nohvaku Co., Ltd., Japan
- SO Jpn. Kokai Tokkvo Koho, 29pp.
- CODEN: JKXXAF DT Patent
- LA Japanese FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		/		
PI JP 2006282552	A	20061019	JP 2005-102985	20050331
PRAI JP 2005-102985	,	20050331 /		
OS MARPAT 145:438632		\ /		

- AB The compds. I [R1 = H, C1-8 (harro)alkyl, C1-8 (halo)alkoxy, (un) substituted Ph, etc.; R2 = H, C1-8 alkvl, C2-8 alkenvl, C2-8 alkvnvl, C1-8 (halo)alkylsulfonyl, etc.; R3 = halo, C1-8 (halo)alkyl, C1-8 alkoxycarbonyl, SiMe3, cyano, NO2, etc.; 2 neighboring R3s may be bonded together to form OCF2CF2O, OCH2O, OCH2CH2O; G = CO, CS, CR62 (R6 = H, cyano, C1-8 alkyl: R6s may be bonded together to form a C, N, O, or S-containing 3-6-membered ring; X = direct bond, CO, CS, SOg (g = 1, 2); Y = CR7 R7 = H, halo, cyano, NO2, OH, CO2H, SF5, C1-8 alkylamino, etc.), $N\rightarrow Op$ (p = 0, 1), wherein ≥ 2 of Y = $N\rightarrow Op$; t = 0-2; m =
 - 1-5] or their salts are claimed. Also claimed are herbicides containing I or their salts and usage of herbicides to apply them to soils or plant. Thus, a EtOAc solution of [4-methyl-6-(3-trifluoromethoxyphenyl)pyrimidin-2-
 - yl]methylamine and Et3N was treated with cyclopropanecarbonyl chloride at 0-4° for 30 min to give 83%
 - N-[[4-methyl-6-(3-trifluoromethoxyphenyl)pyrimidin-2-
 - yl]methyl]cyclopropanecarboxamide. This showed ≥90% inhibition at 1000 g a.i./ha on growth of Scirpus hotarui, Monochoria vaginalis, Lindernia pyxidaria, etc.
 - 912850-79-4P
 - RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES
 - (preparation of phenylheteroaryl compds. as herbicides)
- RN 912850-79-4 CAPLUS
- CN 2-Pyrimidinecarboxamide, N-(4-fluorophenyl)-4-[4-(trifluoromethoxy)phenyl]-(CA INDEX NAME)

- 912850-78-3
 - RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of phenylheteroaryl compds. as herbicides)
- RN 912850-78-3 CAPLUS
- CN 2-Pyrimidinamine, N, 4-dimethyl-6-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN

KIND DATE

- AN 2006:436703 CAPLUS
- DN 144:468151
- TI Preparation of carboxylic acid derivatives containing thiazole moiety as PPAR α agonists
- IN Tozawa, Takashi; Tsuruta, Osamu; Kitajima, Hiroshi; Aoki, Yoshiyuki; Ando, Naoko; Tamakawa, Hiroki

ADDITION NO

DATE

- PA Mitsubishi Pharma Corporation, Japan
- SO PCT Int. Appl., 512 pp.
- CODEN: PIXXD2
- DT Patent
- LA Japanese

FAN	.CNT	1	
	PAT	TME	NO

Þ

	PA.	TENT	NO.			KIND DATE					APPL	ICAI.	TON		DATE					
PI	WO	2006	0492	32		A1		2006	0511)	WO 2	005-	JP20:	262		20	0051	104		
		W:	AE,	AG,	AL,						BB,									
											DZ,									
											IS,									
			KZ.	LC.	LK.	LR.	LS.	LT.	LU.	LV.	LY.	MA.	MD.	MG.	MK.	MN.	MW.	MX.		
			MZ.	NA.	NG.	NI.	NO.	NZ.	OM.	PG.	PH,	PL.	PT.	RO.	RU.	sc.	SD.	SE.		
			SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,		
			VN.	YU.	ZA.	ZM.	ZW													
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
			KG,	KZ,	MD,	RU,	TJ,	TM												
	AU	2005	3016	26		A1		2006	0511		AU 2	005-	3016	26		20	0051	104		
	CA	2587	023			A1		2006	0511		CA 2	005-	2587	023		20	0051	104		
	EP	1816	128			A1		2007	8080		EP 2005-800453						20051104			
		R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
			IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR			
	CN	1010	6879	7		A		2007	1107		CN 2	005-	8003	7890		20	0051	104		
		2005									BR 2	005-	1706	5		20	0051	104		
	KR	2007	0856	87							KR 2	007-	7125	16						
		2007				A					IN 2					20				
	US	2008	0167	307		A1		2008	0710		US 2	007-	6670	06		20	0071	115		
PRAI		2004						2004												
		2005						2005												

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 144:468151

etc.

AB Title compde. I [R1, R2 = H, alkyl; R1 and R2 may combine to form cycloalkyl; R3 = H, alkyl; R4 = H, alkyl, aryl; n = 1-5; Y = -0-, -5-, -NR5-, etc; R5 = H, alkyl, cycloalylalkyl, etc.; Z = cycloalkyl, aryl, arylalkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, DIAD mediated alkylation of 2-[[4-(2-hydroxyethyl)-1,3-thiazol-2-yl]|thio|-2-methylpropionic acid tert-Bu ester, e.g., prepared from 4-chloro-3-oxobutanoic acid Et ester in 4 steps, with 4'-fluorobiphenyl-4-ol followed by treatment with trifluoroacetic acid afforded compound II. In PPARa transcription activation assays, the EC50 value of compound II was 10.4 nmol/L. Compds. I are claimed useful for the treatment of hyverlipidemia, arteriosclerosis.

IT 886529-08-4P 886529-10-8P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of carboxylic acid derivs. containing thiazole moiety as PPARG agonists for treatment of hyperlipidemia and arteriosclerosis)

- RN 886529-08-4 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[4-(trifluoromethoxy)phenyl]-2pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, 1,1-dimethylethyl ester (CA
 INDEX NAME)

$$\begin{array}{c} O \quad \text{Me} \\ t-BuO-C-C-S \quad N \\ \text{Me} \quad S \\ \end{array}$$

- RN 886529-10-8 CAPLUS
- CN Propanoic acid, 2-methyl-2-[{4-[2-[methyl[5-[4-(trifluoromethoxy)phenyl]-2-pyrlmidinyl]amino]ethyl]-2-thiazolyl]thio]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- IT 886529-09-5P 886529-11-9P 886529-18-6P 886529-19-7P 886529-20-0P 886529-21-1P 886529-44-8P 886529-45-9P 886529-63-1P 886529-64-2P 886530-11-6P 886535-99-5P
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of carboxylic acid derivs. containing thiazole moiety as PPAR α agonists for treatment of hyperlipidemia and arteriosclerosis.

- RN 886529-09-5 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[4-(trifluoromethoxy)phenyl]-2-pvrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

- RN 886529-11-9 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-[2-[methyl[5-[4-(trifluoromethoxy)phenyl]-2-

pyrimidinyl|amino|ethyl|-2-thiazolyl|thio|- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{HO}_2\text{CC} - \text{C-S} \\ \text{Me} \end{array} \\ \text{S} \\ \text{CH}_2 - \text{CH}_2 - \text{N} \\ \text{N} \\ \text{N} \\ \text{O} \\ \text{CF} \\ \text{S} \\ \text{O} \\ \text{CF} \\ \text{O} \\ \text{O} \\ \text{CF} \\ \text{O} \\$$

- RN 886529-18-6 CAPLUS
- CN Propanoic acid, 2-[[4-[2-[ethy1[5-[4-(trifluoromethoxy)pheny1]-2-pyrimidiny1]amino]ethy1]-2-thiazoly1]thio]-2-methy1- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{HO}_2\text{C-C-S} \\ \text{Me} \end{array} \\ \text{S} \\ \text{CH}_2\text{-CH}_2\text{-N} \\ \text{N} \\ \text{N} \\ \text{O} \\ \text{CF} \\ \text{O} \\ \text{CF} \\ \text{O} \\ \text{O}$$

- RN 886529-19-7 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-[2-[(1-methylethyl)[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-(CA INDEX NAME)

- RN 886529-20-0 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[4-(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

- RN 886529-21-1 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[3-(2,2,2-trifluoroethoxy)phenyl]-2pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

- RN 886529-44-8 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[3-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Ho}_2\text{C} - \text{C} - \text{S} \\ \text{Me} \end{array} \\ \text{S} \\ \begin{array}{c} \text{C}\text{H}_2 - \text{C}\text{H}_2 - \text{NH} \\ \text{N} \\ \end{array} \\ \begin{array}{c} \text{O} - \text{CE}; \\ \text{O} \\ \end{array}$$

●x HC1

- RN 886529-45-9 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-[2-[methyl[5-[3-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{HO}_2\text{CC-C-S} \\ \text{Me} \\ \text{S} \end{array} \qquad \begin{array}{c} \text{CH}_2\text{-CH}_2\text{-N} \\ \text{N} \\ \text{N} \end{array} \qquad \begin{array}{c} \text{O-CF}_3 \\ \text{O-CF}_3 \\ \text{O-CF}_3 \end{array}$$

●x HCl

- RN 886529-63-1 CAPLUS
- CN Propanoic acid, 2-methyl-2-[[4-methyl-5-[2-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

Me HO2C-C-S N Me S CH2 CH2 NH N N
$$\mathbf{F}_3$$
C-O

RN 886529-64-2 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-methyl-5-[2-[methyl[5-[4-(trifluoromethoxy)]phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

RN 886530-11-6 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[4-[4-(trifluoromethoxy)phenyl]-2-

pyrimidiny1]amino]ethy1]-2-thiazoly1]thio]-, hydrochloride (1:1) (CA INDEX NAME)

●x HCl

- RN 886535-99-5 CAPLUS
- CN Acetic acid, 2-[[4-[2-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2006:340006 CAPLUS
- DN 144:390933
- TΙ Preparation of anilinopyrimidines as IKK kinase inhibitors
- Sum, Fuk-Wah; Powell, Dennis William; Zhang, Yixian; Chen, Lijing; TN Kincaid, Scott Lee; Jennings, Lee Dalton; Hu, Yongbo; Gilbert, Adam Matthew; Bursavich, Matthew Gregory
- Wyeth, John, and Brother Ltd., USA
- SO U.S. Pat. Appl. Publ., 55 pp.
- CODEN: USXXCO DT Patent
- T.A
- English FAN.CNT 1

	PA:	TENT :	NO.			KIND DATE					APPI	LICAT		DATE				
															_			
PI		2006				A1		2006				2005-			0051			
		2005		88										20051013				
		2580				A1						2005-						
	WO	2006													20051013			
		W:										BG,						
												EC,						
												JP,						
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,
			NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,
			SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,
			YU,	ZA,	ZM,	zw												
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT.	RO,	SE,	SI,	SK,	TR,	BF,	BJ,
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML	MR,	NE,	SN,	TD,	TG,	BW,	GH,
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	KZ,	MD,	RU,	TJ,	TM										
	EP	1799	652			A1		2007	0627		EP :	2005-	8126		20051013			
		R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
			IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR	
	CN	1010	3991	9		A		2007	0919		CN 2	2005-	8003	4935		2	0051	013
	JP	2008	5159	86		T		2008	0515		JP :	2007-	5368	38		2	0051	013
	BR	2005	0165	97		A		2008	0916		BR 2	2005-	1659	7		2	0051	013
	NO	2007	0016	42		A		2007	0601		NO :	2007-	1642			2	0070	328
	IN	2007	DN02	696		A		2007	0817		IN :	2007-	DN26	96		2	0070	411
	MX	2007	0044	88		A		2007	0911		MX 2	2007-	4488			2	0070	413
	KR	2007	0840	67		A		2007	0824		KR 2	2007-	7104	45		2	0070	508
PRAI	US	2004	-617															
		2005				W		2005										

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS CASREACT 144:390933; MARPAT 144:390933

Title compds. I [wherein R1, R4 = H; R2 = (un)substituted amino, AB quanidinyl, ureido, etc.; R3 = H, (un)substituted Ph, certain heteroaryl, etc.; R5 = H, alkyl, alkylsulfonyl, etc.; R6 = H, halo, (un)substituted Ph, etc.] and salts, solvates or hydrates thereof were prepared as kinase inhibitors, especially IKK kinase inhibitors. For instance, condensation of 2-acetyl-5-chlorothiophene with DMF di-Me acetal followed by cyclization with a guanidine, which was obtained by treatment of sulfanilamide with 1H-pyrazole-1-carboximidamide hydrochloride, gave 2-pyrimidinamine II. Exemplary I gave a pos. or slightly pos. result in the western anal. of $IKK\alpha$. Therefore, I and their pharmaceutical compns. are useful for the treatment of diseases associated with NF-KB activation, such as inflammation, tumor and ischemic conditions.

10/577,047

IT 882874-45-9P 882874-49-9P Rl: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of anilinopyrimidines as IKK kinase inhibitors)

RN 882874-45-5 CAPLUS

CN Benzenesulfonamide, N-[3-(4-morpholinyl)propyl]-4-[[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 882874-49-9 CAPLUS

CN Benzenesulfonamide, N-[2-(4-morpholinyl)ethyl]-4-[[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

- L4 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:1170507 CAPLUS
- DN 143:440431
- TI Substituted thiazole and pyrimidine derivatives as melanocortin receptor modulators
- IN Mjalli, Adnan M. M.; Gaddam, Bapu R.; Qabaja, Ghassan; Subramanian, Govindan; Zhu, Jeff; Dankwardt, John; Arimilli, Murty N.; Andrews, Robert C.; Victory, Samuel; Tian, Ye E.
- PA Transtech Pharma, Inc., USA
- SO PCT Int. Appl., 179 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

AB

FAN.		TENT :	NO.			KIND DATE						LICAT	DATE							
PI	WO	2005	1030	 22		A1 (20051103)						2005-	2	0050	420					
		W:	ΑE,	AG,	AL,	AM,	A'R	AU,	AZ,	/βA,	BB	, BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
			CN,	CO,	CR,	CU,	CZ,	BEy	-BK,	DM,	DZ	, EC,	EE,	EG,	ES,	FI,	GB,	GD,		
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP,	KE,	KG,	KM,	KP,	KR,	KZ,		
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD	, MG,	MK,	MN,	MW,	MX,	MZ,	NA,		
			NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO	, RU,	SC,	SD,	SE,	SG,	SK,	SL,		
			SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA	, UG,	US,	UZ,	VC,	VN,	YU,	ZA,		
			ZM,	ZW																
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD	, SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
			AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT	, BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS	, IT,	LT,	LU,	MC,	NL,	PL,	PT,		
			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG	, CI,	CM,	GA,	GN,	GQ,	GW,	ML,		
			MR,	NE,	SN,	TD,	TG													
	AU	2005	2360	55		A1 20051103					AU	2005-	2360	55		2	0050	420		
	CA	2562	075			A1 20051103					CA 2005-2562075						0050	420		
	US	2005	0261	294		A1 20051124					US 2005-110499						20050420			
	EP	1753	735			A1		2007	0221		EP	2005-	7570	33		2	0050	420		
		R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE	, ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
			IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT	, RO,	SE,	SI,	SK,	TR,	AL,	BA,		
			HR,	LV,	MK,	YU														
	CN	1946	703			A		2007	0411		CN	2005-	8001	2513		2	0050	420		
		2005				A		2007				2005-					0050			
		2007				T		2007	1122		JP	2007-	5095	85			0050			
	ZA	2006	0082	25		A		2008	0130		ZA	2006-	8225			2	0050	420		
		2006						2007				2006-				20061020				
		2006				A 20070615					IN	2006-		20061116						
PRAI		2004						2004												
	WO	2005	-US1	3386		W		2005	0420											

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 143:440431; MARPAT 143:440431

Title compds. I [A = substituted amine, substituted alkyl, substituted sulfonamide, etc.; m = 0-2; Rl and R2 independently = H, halo, alkyl, etc., or R1 and R2 may be taken together to form part of a fused carbocyclic ring, aromatic ring, heteroarom. ring, etc.; W = S, N=N, or CR3=N; R3 = H, halo, alkyl, etc.], methods of their preparation, pharmaceutical compns. comprising the compds. of Formula (I), and methods of use in treating human or animal disorders are disclosed. Thus, e.g., II was prepared by cyclocondensation of 2-bromo-1(4-isopropylphenyl)ethanone

(preparation given) with thiourea followed by reaction with

chlorosulfonyl-acetic acid tert-Bu ester (preparation given). I showed an increase in cAMP production and a reduction in fluorescence polarization in assays

and possess an effective concentration for half maximal effect (EC50) in the assay of less than $14~\mu\mathrm{M}$. The compds. of the invention can be useful as inhibitors of action of AgRP on a melanocortin receptor and thus can be useful for the management, treatment, control, or the adjunct treatment of diseases which may be responsive to the modulation of melanocortin receptors including obesity-related disorders.

868590-78-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of thiazole and pyrimidine derivs. as melanocortin receptor modulators)

RN 868590-78-7 CAPLUS

CN Benzoic acid, 2-[[(2-thienylmethyl)[4-[4-(trifluoromethoxy)phenyl]-2pyrimidinyl]amino]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

3 DDT TO3 BTON 110

L4 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN

TITLED DAME

- 2005:1075803 CAPLUS AN
- 143:367317 DN
- TI Preparation of N-(2-amino and 2-hydroxy)phenyl carboxamides as inhibitors of histone deacetylase
- IN Delorme, Daniel; Vaisburg, Arkadii; Moradei, Oscar; Leit, Silvana; Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane; Zhou, Zhihong; Paguin, Isabelle; Gaudette, Frederic; Isakovic, Ljubomir
- Methylgene Inc., Can. SO PCT Int. Appl., 245 pp.
- CODEN: PIXXD2
- DT Patent
- T.A English FAN.CNT 1

	PA:	TENT 1	10.			KIND DATE					APPL	ICAT	ION	DATE					
PI	WO		AE, CN, GE, LK, NO, SY, BW, AZ, EE, RO,	AG, CO, GH, LR, NZ, TJ, GH, BY, ES, SE,	CR, GM, LS, OM, TM, GM, KG, FI, SI,	CU, HR, LT, PG, TN, KE, KZ, FR,	CZ, HU, LU, PH, TR, LS, MD, GB, TR,	AU, DE, ID, LV, PL, TT, MW, RU, GR,	AZ, DK, IL, MA, PT, TZ, MZ, TJ, HU,	BA, DM, IN, MD, RO, UA, NA, TM, IE,	BB, DZ, IS, MG, RU, UG, SD, AT, IS,	BG, EC, JP, MK, SC, US, SL, BE, IT, CI,	BR, EE, KE, MN, SD, UZ, SZ, BG, LT,	BW, EG, KG, MW, SE, VC, TZ, CH, LU,	ES, KP, MX, SG, VN, UG, CY, MC,	BZ, FI, KR, MZ, SK, YU, ZM, CZ, NL,	GB, KZ, NA, SL, ZA, ZW, DE, PL,	CH, GD, LC, NI, SM, ZM, AM, DK, PT,	ZW
					SN,									_		_			
		20050		518		A1 20051103 US 2005-90713 B2 20070807									20050325				
		72532																	
		U 2005225471														2			
		2559				A1						005-				2			
	EP	17353									EP 2005-714678 DK, EE, ES, FI, FR,								
		R:																	
							LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	BA,	
				LV,	MK,														
		19976				A			0711			005-					0050		
		20050				A			0904			005-				0050			
		20075				T			1101			007-					0050		
		20060				A			0221			006-				2			
		2006F				A			0608			006-					0061		
		20070				A			0227			006-				2			
		20070				A1			0913		US 2	007-	6873	98		2	0070	316	
PRAI		2004-				P		2004											
		2005-				A		2005											
		2005-				A		2005											
		2004-				A		2004											
	WO	2005-	-CA4	54		W		2005	0329										

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT CASREACT 143:367317; MARPAT 143:367317 OS

AB The invention relates to N-(2-amino and 2-hydroxy) phenyl carboxamides (2-TC6H4NHC(0)(CH:CH)qAr-X-Cy (I); variables defined below; e.g. (E)-N-(2-Aminophenyl)-3-[4-[(2-hydroxyethyl)[2-(1H-indol-3-

yl)ethyl]amino]methyl]phenyl]acrylamide (shown as II)) useful for inhibiting histone deacetylase (HDAC) enzymic activity. The invention also provides a method for inhibiting histone deacetylase in a cell using said compds. as well as a method for treating cell proliferative diseases and conditions using said HDAC inhibitors. Further, the invention provides pharmaceutical compns. comprising the HDAC inhibiting compds. and a pharmaceutically acceptable carrier. For I: Cy is aryl, heteroaryl, cycloalkyl, or heterocyclyl, each of which is (un)substituted and each of which is optionally fused to ≥1 aryl or heteroaryl rings, or to ≥1 saturated or partially unsatd. cycloalkyl or heterocyclic rings, each of which rings is (un)substituted; X = a chemical bond, L, W-L, L-W, and L-W-L, wherein W, at each occurrence, is S, O, C:O, or N(R9), where R9 = H, alkyl, hydroxyalkyl, and tert-butoxycarbonyl; and L = C1-C4 alkylene; Ar is arylene or heteroarylene, each of which is (un)substituted; q = 0-1; and T is NH2 or OH, provided that when Cy is naphthyl, X is -CH2-, Ar is Ph, and q = 0-1, T is not OH. Although the methods of preparation are not claimed, 215 example prepns. and/or characterization data are included. For example, II was prepared in 6 steps (59, 83, 97, 79, 96 and 80 % yields) starting from (E)-4-formylcinnamic acid and involving intermediates Me (E)-3-(4-formylphenyl)acrylate, Me (E)-3-[4-[[[2-(1H-indol-3-yl)ethyl]amino]methyl]phenyl]acrylate, Me (E)-3-[4-[[[2-[(tert-butyldimethylsilanyl)oxy]ethyl][2-(1H-indol-3v1)ethv1]amino]methv1]phenv1]acrvlate, (E)-3-[4-[[[2-[(tert-butvldimethylsilanvl)oxy]ethyl][2-(1H-indol-3vl)ethvl|amino|methvl|phenvl|acrvlic acid and (E)-N-(2-aminopheny1)-3-[4-[[[2-[(tert-butyldimethylsilany1)oxy]ethy1][2-(1H-indol-3-yl)ethyl]amino]methyl]phenyl]acrylamide.

IT 866000-05-7P, N-(2-Aminophenyl)-4-[[[4-(3-

trifluoromethoxyphenyl)pyrimidin-2-yl]amino]methyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of N-(2-amino and 2-hydroxy)phenyl carboxamides as inhibitors of histone deacetylase)

RN 866000-05-7 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[[[4-[3-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]methyl]- (CA INDEX NAME)

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 13 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
1.4
     2005:395285 CAPLUS
AN
     142:430294
DN
TI
     Preparation of pyrimidine compounds as antistress agents
IN
     Ohmoto, Kazuyuki; Kato, Masashi; Katsumata, Seishi; Manako, Junichiro
PA
     Ono Pharmaceutical Co., Ltd., Japan
SO
     PCT Int. Appl., 133 pp.
                                                     Applicant's
     CODEN: PIXXD2
DT
     Patent
LA
     Japanese
FAN.CNT 1
     PATENT NO.
                           KIND
                                   DATE
                                                APPLICATION NO.
                                                                          DATE
     WO 2005040135
                                   20050506 WO 2004-JP16056
PT
                           A1
                                                                         20041022
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
              LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
         NO, NO, ON, FG, FH, FH, FH, NO, RU, SC, DJ, SE, SK, SK, SK, SL, SL, TJ, TJ, TM, TN, TR, TT, TZ, DA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DF, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, FL, PT, RO, SE,
              SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
              SN, TD, TG
                                   20060712
                                                EP 2004-793164
     EP 1679309
                            A1
                                                                          20041022
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
     US 20070099938
                           A1 20070503 US 2006-577047
                                                                          20060901
PRAI JP 2003-365237
                            А
                                   20031024
     WO 2004-JP16056
                            TeT
                                   20041022
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
   MARPAT 142:430294
AB
     Title compds. I [ring A = (un)substituted cyclic group; Q =
     (un) substituted alkyl; (un) substituted cyclic group; ring D =
     (un) substituted cyclic group; W = bond, spacer with a principal chain of 1
     to 4 atoms; Y = spacer with a principal chain of 1 to 4 atoms] were prepared
     For example, benzyloxyacetylation of 4-phenyl-2-aminopyrimidine, e.g.,
     prepared from acetophenone in 2 steps, afforded compound II. In MBR
     (mitochondrial benzodiazepine receptor) binding assays, the Ki value of
     compound III was 0.01 µmol/L. Compoounds I are claimed useful for the
     treatment of depression, asthma etc. Formulations are given.
     850924-82-2P
                        850924-86-6P
                                          850925-10-9P
     850925-22-3P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
         (preparation of pyrimidine compds. for treatment of depression, asthma etc.)
RN
     850924-82-2 CAPLUS
CN
     Methanesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-
     (CA INDEX NAME)
```

- RN 850924-86-6 CAPLUS
 CN Benzenesulfonamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2pyrimidinyl]- (CA INDEX NAME)
- F3C-CH2-O N O NH-S-Ph
- RN 850925-10-9 CAPLUS
- CN Acetamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]-(CA INDEX NAME)

F3C-CH2-0

- RN 850925-22-3 CAPLUS
- CN Acetamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]-Nethyl- (CA INDEX NAME)

F3C-CH2-0

- IT 850924-46-8P
- 850924-47-9P
- 850924-51-5P

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850924-77-5P
                 850924-79-7P
                                   850924-80-0P
850924-81-1P
                 850924-83-3P
                                   850924-84-4P
850924-85-5P
                 850924-87-7P
                                   850924-88-8P
850925-04-1P
                 850925-06-3P
                                   850925-07-4P
850925-08-5P
                 850925-09-6P
                                   850925-11-0P
850925-14-3P
                 850925-15-4P
                                   850925-16-5P
850925-17-6P
                 850925-18-7P
                                   850925-19-8P
850925-20-1P
                 850925-21-2P
                                   850925-24-5P
850925-25-6P
                 850925-27-8P
                                   850925-29-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of pyrimidine compds. for treatment of depression, asthma etc.)
850924-46-8 CAPLUS
```

2-Thiophenecarboxamide, N-[4-[4-(trifluoromethoxy)pheny1]-2-pyrimidiny1]-

S C NH N

RN 850924-47-9 CAPLUS

(CA INDEX NAME)

RN

CN

CN Benzamide, N-[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]- (CA INDEX NAME)

- RN 850924-51-5 CAPLUS
- CN 2-Thiophenecarboxamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2pyrimidinyl]- (CA INDEX NAME)

- RN 850924-77-5 CAPLUS
- CN Acetamide, 2-phenoxy-N-[4-[4-(trifluoromethoxy)pheny1]-2-pyrimidiny1]-

(CA INDEX NAME)

RN 850924-79-7 CAPLUS

CN 2-Thiophenecarboxamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2pyrimidinyl]-5-chloro- (CA INDEX NAME)

RN 850924-80-0 CAPLUS

CN Urea, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N'-phenyl- (CA INDEX NAME)

F2CH-O

RN 850924-81-1 CAPLUS

CN Urea, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N'-(1-methylethyl)- (CA INDEX NAME)

F2CH-0

10/577,047

- RN 850924-83-3 CAPLUS
- CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-(CA INDEX NAME)

- RN 850924-84-4 CAPLUS
- CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-4-methyl- (CA INDEX NAME)

- RN 850924-85-5 CAPLUS
- CN Benzenemethanesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2pyrimidinyl]- (CA INDEX NAME)

- F2CH-0
- RN 850924-87-7 CAPLUS
- CN Benzenesulfonamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2pyrimidinyl]-4-methyl- (CA INDEX NAME)

RN 850924-88-8 CAPLUS

CN Methanesulfonamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2pyrimidinyl]- (CA INDEX NAME)

RN 850925-04-1 CAPLUS

CN Benzenemethanesulfonamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2pyrimidinyl]- (CA INDEX NAME)

F3C-CH2-0

RN 850925-06-3 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-3-methyl- (CA INDEX NAME)

RN 850925-07-4 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-3,5-dimethyl- (CA INDEX NAME)

- RN 850925-08-5 CAPLUS
- CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-3,5-dichloro- (CA INDEX NAME)

- RN 850925-09-6 CAPLUS
- CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-4-(methylsulfonyl)- (CA INDEX NAME)

- RN 850925-11-0 CAPLUS
- CN Methanesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N-(phenylmethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} F_2CH-O & N & \\ N & N-CH_2-Ph \\ O & S-Me \\ O & O \end{array}$$

RN 850925-14-3 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-Nethyl- (CA INDEX NAME)

RN 850925-15-4 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N-propyl- (CA INDEX NAME)

RN 850925-16-5 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N- (2-methylpropyl)- (CA INDEX NAME)

RN 850925-17-6 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N-(2-methoxyethyl)- (CA INDEX NAME)

- RN 850925-18-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-N-ethyl- (CA INDEX NAME)

RN 850925-19-8 CAPLUS

F3C-CH2-0

CN Benzenesulfonamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2pyrimidinyl]-N-methyl- (CA INDEX NAME)

- RN 850925-20-1 CAPLUS
- CN Benzenesulfonamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2pyrimidinyl]-N,4-dimethyl- (CA INDEX NAME)

RN 850925-21-2 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N-bis(difluoromethoxy)phenyl]-1-bis(difluoromethoxymethyl- (CA INDEX NAME)

$$\begin{array}{c|c} F_2CH-O & N & N-Me \\ \hline \\ F_2CH-O & O & S-Ph \\ \end{array}$$

RN 850925-24-5 CAPLUS

2-Pyrimidinamine, 4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-N-methyl-N-CN (phenylmethyl) - (CA INDEX NAME)

RN 850925-25-6 CAPLUS CN 2-Pyrimidinamine, 4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-N-(phenylmethyl) - (CA INDEX NAME)

FaC-CH2-O

RN 850925-27-8 CAPLUS CN 2-Pyrimidinamine, 4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-N,N-diethyl-(CA INDEX NAME)

F3C-CH2-0

RM 850925-29-0 CAPLUS

CN 1-Pentanol, 5-[[4-[2,5-bis(2,2,2-trifluoroethoxy)pheny1]-2pyrimidinyl]amino]- (CA INDEX NAME)

F3C-CH2-0

IT 850925-34-7P

- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation of pyrimidine compds. for treatment of depression, asthma etc.) RN 850925-34-7 CAPLUS
- CN Pentanamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]-5bromo- (CA INDEX NAME)

F3C-CH2-O

OSC.G THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS) RE.CNT 116 THERE ARE 116 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:123194 CAPLUS
- DN 142:219265
- TI Preparation of novel spiro compounds as neuropeptide Y antagonists
- IN Fukami, Takehiro; Kanatani, Akio; Ishihara, Akane; Ishii, Yasuyuki; Takahashi, Toshiyuki; Haga, Yuji; Sakamoto, Toshihiro; Itoh, Takahiro; Chiba, Masato
- PA Banvu Pharmaceutical Co., Ltd., Japan
- SO U.S. Pat. Appl. Publ., 32 pp., Cont.-in-part of Appl. No. PCT/JP03/02611.
- DT Patent
- LA English

FAN.CNT 3

	PATENT	NO.		KIN	D	DATE			APPL	ICAT	ION		DATE					
PI	US 200					2005			US 2	004-	9228	20040823						
	US 7304 US 2001	20188	124			2002	20071204 20021212 US 2002-92549							20020308				
	US 6803						20041012											
				A1 20030918 WO 2003-JP2611 A9 20050120										20030305				
		AE,						BB,	BR,	BY,	BZ,	CA,	CN,	co,	CR,	CU,		
						HR,												
						MG, TT,								PL,	RO,	RU,		
	RW	GH,												AM,	AZ,	BY,		
						TM,												
						IE, CM,												
PRAI	US 200					2002		GIV,	GQ,	GW,	PIL,	PIR,	ME,	S14,	ID,	10		
	WO 2003	JP2	611	A2		2003	0305											
	JP 1999																	
	JP 2000					2000												
	US 200					2000												
3 C C T	CHMPNT I							т т	N T C	tte n	TODI	7 37 E2	ODMA	T				

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 142:219265; MARPAT 142:219265

AB Spiro compds. represented by the general formula (I) (wherein Arl, Ar2 = each (un)substituted arvl or heteroarvl; n = 0 or 1; T, U, V, W = each independently N atom or CH group which may have a substituent selected from the group consisting of halogen, lower alkyl, hydroxy, and lower alkoxy, wherein at least two of which represent said methine group; X = hydroxy substituted methine or nitrogen atom; Y = an imino which may be substituted with lower alkyl, or oxygen), salts, esters, or N-oxide derivs, thereof are prepared These compds, exhibit neuropeptide Y (NPY) antagonistic activities and are useful as agents for the treatment of various diseases related to NPY, for example, (1) cardiovascular disorders such as hypertension, nephropathy, heart disease, vasospasm, and arteriosclerosis, (2) central nervous system disorders such as bulimia, depression, anxiety, seizure, epilepsy, dementia, pain, alcoholism, and drug withdrawal, (3) metabolic diseases such as obesity, diabetes, hormone abnormality, hypercholesterolemia, and hyperlipidemia, (4) sexual and reproductive dysfunction, gastro-intestinal disorder, respiratory disorder, inflammation or glaucoma. Thus,

 $1-[3-(dimethylamino)propyl]^{-3}-ethylcarbodiimide hydrochloride (400 mg) was added to a mixture of trans-3-oxospiro(6-azaisobenzofuran-1(3H),1'-cyclohexane)-4'-carboxylic acid (436 mg) and$

3-amino-1-(4-benzyloxy-2-fluorophenyl)pyrazole (500 mg) in pyridine (10 mL), and the mixture was stirred overnight to give, after workup, 783.7 mg trans-N-[1-(4-benzyloxy-2-fluorophenyl)-3-pyrazolyl]-3-oxospiro(6-azaisobenzofuran-1(3H),l'-cyclohexane)-4'-carboxamide (II). A mixture of 783.7 mg II and 100 mg 10% Pd-C in THF was stirred under hydrogen atmospheric

at

room temperature for 24 h to give, after silica gel chromatog. and recrystn. from BtOAc, 531.7 mg trans-N-[1-(2-fluoro-4-hydroxyphenyl)-3-pyrazolyl]-3-oxospiro(6-azaisobenzofuran-1(3H),1'-eyclohexane)-4'-carboxamide (III). III in vitro inhibited the binding of [125I]peptide YY to a membrane sample prepared from cells which expressed human neuropeptide Y Y5 receptor with IC50 of 3.0 mH.

IT 478013-30-1P 478013-34-2P 478013-35-3P 478013-60-4P 478013-61-5P 478013-62-6P RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel spiro compds. as neuropeptide Y antagonists for treating cardiovascular disorders, central nervous system disorders, and metabolic diseases, etc.)

RN 478013-33-1 CAPLUS

CN Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-4-hydroxy-3'-oxo-, (1a,4a)- (CA INDEX NAME)

Relative stereochemistry.

RN 478013-34-2 CAPLUS

CN Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-3'-oxo-, 5'-oxide, (10.48)- (CA INDEX NAME)

Relative stereochemistry.

Page 98

- RN 478013-35-3 CAPLUS
- CN Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-4-hydroxy-3'-oxo-, 5'-oxide, (10,40)- (CA INDEX NAME)

Relative stereochemistry.

- RN 478013-60-4 CAPLUS
- CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)pheny]-2-pyrimidiny]]-4-hydroxy-1'-oxo-, (10,40)- (CA INDEX NAME)

Relative stereochemistry.

- RN 478013-61-5 CAPLUS
- CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy]phenyl]-2-pyrimidinyl]-1'-oxo-, 5'-oxide, (1a,48)- (CA INDEX NAME)

Relative stereochemistry.

- RN 478013-62-6 CAPLUS
- CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-4-hydroxy-1'-oxo-, 5'-oxide, (1a,4a)- (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/577,047

```
ANSWER 15 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
1.4
    2005:14148 CAPLUS
AN
DN
    142:107413
    Combination therapy for the treatment of dyslipidemia
    Erondu, Ngozi E.; Fong, Tung M.; MacNeil, Douglas J.; Van Der Ploeg,
IN
    Leonardus H. T.
PA
    Merck & Co., Inc., USA
                                           same as #17
SO
    PCT Int. Appl., 106 pp.
     CODEN: PIXXD2
DT
     Patent
LA
    English
FAN. CNT 1
     PATENT NO.
                       KIND DATE
                                           APPLICATION NO.
                                                                  DATE
                        ----
                                            -----
    WO 2005000217
                        A2
                              20050106 WO 2004-US17120
PT
                                                                   20040602
                              20050407
     WO 2005000217
                        A3
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
        AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     EP 1635813
                               20060322 EP 2004-753858
                         A2
                                                                  20040602
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
     US 20060148721
                        A1 20060706
                                            US 2005-555194
                                                                   20051101
PRAI US 2003-476387P
                         P
                                20030606
     WO 2004-US17120
                         W
                                20040602
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
   MARPAT 142:107413
AB
    The invention relates to compns. comprising an anti-obesity agent and an
     anti-dyslipidemic agent useful for the treatment of dyslipidemia,
     dyslipidemia associated with obesity and dyslipidemia-related disorders. The
     invention further relates to methods of treating or preventing obesity,
     and obesity-related disorders, in a subject in need thereof by
     administering a composition of the present invention. The invention further
     provides pharmaceutical compns., medicaments, and kits useful in carrying
    out these methods.
    328232-69-5 328232-78-6
TΤ
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (combination therapy for treatment of dyslipidemia)
    328232-69-5 CAPLUS
RN
     Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide,
     N-[5-[3-(fluoromethoxy)pheny1]-2-pyrimidiny1]-3'-oxo-, (1a, 4b)-
       (CA INDEX NAME)
```

Relative stereochemistry.

- RN 328232-78-6 CAPLUS
- CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-1'-oxo-, (1α,4β)-(CA INDEX NAME)

Relative stereochemistry.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/577,047

```
ANSWER 16 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
T. 4
    2004:1124587 CAPLUS
AN
DN
    142:69188
    Combination therapy for the treatment of diabetes
TI
    Erondu, Ngozi E.; Fong, Tung M.; MacNeil, Douglas J.; Van Der Ploeg,
IN
    Leonardus H. T.; Kanatani, Akio
PA
    Merck & Co., Inc., USA; Banvu Pharmaceutical Co., Ltd.
SO
    PCT Int. Appl., 109 pp.
                                             same as #17
     CODEN: PIXXD2
DT
     Patent
T.A
    English
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                           APPLICATION NO.
                                                                  DATE
                        ----
                                            -----
    WO 2004110375
                        A2
                              20041223 WO 2004-US17291
PT
                                                                   20040602
                              20050512
     WO 2004110375
                        A3
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
        AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
                                                                  20040602
     EP 1635832
                         A2
                               20060322
                                         EP 2004-753999
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
     US 20070099884
                         A1 20070503
                                            US 2005-559206
                                                                   20051202
PRAI US 2003-476388P
                          P
                                20030606
     WO 2004-US17291
                         W
                                20040602
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
   MARPAT 142:69188
AB
    The present invention relates to compns. comprising an anti-obesity agent
     and an anti-diabetic agent useful for the treatment of diabetes, diabetes
     associated with obesity and diabetes-related disorders. The present
     invention further relates to methods of treating or preventing obesity,
     and obesity-related disorders, in a subject in need thereof by
     administering a composition of the present invention. The present invention
     further provides for pharmaceutical compns., medicaments, and kits useful
     in carrying out these methods.
    328232-69-5
                  328232-78-6
TΤ
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (neuropeptide Y Y5 receptor antagonist; combination therapy of diabetes
       and diabetes-related disorders using antiobesity agent and antidiabetic
       agent and other agents)
RN
     328232-69-5 CAPLUS
```

(CA INDEX NAME)
Relative stereochemistry.

Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-3'-oxo-, $(1\alpha,4\beta)$ -

- RN 328232-78-6 CAPLUS
- CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-1'-oxo-, (1α,4β)-(CA INDEX NAME)

Relative stereochemistry.

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/577,047

```
ANSWER 17 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
1.4
    2004:1124581 CAPLUS
AN
DN
    142:69181
    Combination therapy for the treatment of hypertension
     Fong, Tung M.; Erondu, Ngozi E.; Macneil, Douglas J.; Mcintyre, James H.;
TN
    Van Der Ploeg, Leonardus H. T.
PA
    Merck & Co., Inc., USA
SO
     PCT Int. Appl., 99 pp.
     CODEN: PIXXD2
     Patent
                                          same as # 19
T.A
     English
FAN.CNT 1
     PATENT NO.
                        KIND DATE
                                           APPLICATION NO.
                                                                    DATE
                         ----
    WO 2004110368
                         A2
                                20041223 WO 2004-US17090
PT
                                                                    20040602
     WO 2004110368
                         A3
                               20060720
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
         AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     EP 1635773
                                                                   20040602
                          A2
                                20060322
                                           EP 2004-753832
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
                         A1
     US 20060160834
                                20060720
                                             US 2005-559111
PRAI US 2003-476390P
                          P
                                20030606
     WO 2004-US17090
                          W
                                20040602
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
   MARPAT 142:69181
AB
    The present invention relates to compns. comprising an anti-obesity agent
     and an anti-hypertensive agent useful for the treatment of hypertension,
     hypertension associated with obesity, and hypertension-related disorders.
     The present invention further relates to methods of treating or preventing
     obesity, and obesity-related disorders, in a subject in need thereof by
     administering a composition of the present invention. The present invention
     further provides for pharmaceutical compns., medicaments, and kits useful
     in carrying out these methods.
    328232-69-5
                   328232-78-6
TΤ
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (neuropeptide Y Y5 receptor antagonist; combination therapy of
        hypertension and hypertension-related disorders using antiobesity agent
       and antihypertensive agent and other agents)
RN
     328232-69-5 CAPLUS
     Spiro(cvclohexane-1,1'(3'H)-furo(3,4-c)pyridine)-4-carboxamide,
     N-[5-[3-(fluoromethoxy)pheny1]-2-pyrimidiny1]-3'-oxo-, (1a, 4B)-
```

(CA INDEX NAME)
Relative stereochemistry.

- RN 328232-78-6 CAPLUS
- CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-1'-oxo-, (1α,4β)-(CA INDEX NAME)

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 18 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN T. 4
- 2004:451634 CAPLUS AN
- 141:23544 DN
- TΙ Preparation of anilinopyrimidines as JNK pathway inhibitors for treating or preventing an inflammatory or metabolic condition
- IN Satoh, Yoshitaka; Bhagwat, Shripad S.
- PA Signal Pharmaceuticals, LLC, USA
- SO U.S. Pat. Appl. Publ., 161 pp., Cont.-in-part of U.S. Ser. No. 4,645. CODEN: USXXCO
- Pat.ent.
- LA English
- FAN CNT 2

FAN.	PATENT NO. US 20040106634							DATE				LICAT					ATE	
PT						7.1		2004	0603			2003-					0030	
	IIS	7429	599	054		R2		2004			05	2005	3330	11			,050	J2 4
	US	7429 2003	0220	330		A1		2003			IIS :	2001-	4645			2	0011	204
	US	7129	242			B2		2006								_		
		2004	2243	02		A1					AU :	2004-	2243	02		2	0040	324
		2520						2004	1007		CA	2004-	2520	440		2	0040	324
	WO	2004	0849	01		A1		2004	1007		WO :	2004-	US92	08		2	0040	324
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB	, BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	, EC,	EE,	EG,	ES,	FI,	GB,	GD,
												, J₽,						
												, MK,						
												, sc,						
												, UZ,						
		RW:										, SZ,						
												, BG,						
												, MC,						
					BF,	BJ,	CF,	CG,	CI,	CM,	GA	, GN,	GQ,	GW,	ML,	MR,	NE,	SN,
		1608	TD,	TG		3.1		2005	1000		nn .	2004-	7501	20		-	0010	224
	EP			DE	CII							2004- , IT,						
		K:										, II,						
	DD	2004										2004-						
	CNI	1791	410	04		7.		2006	0621		CN	2004	8001	3588		2	0040	324
	.TP	2006	5213	94		т		2006	0921		.TP	2004- 2006-	5093	10		2	0040	324
	ZA	2005	0079	87		A		2007	1227		ZA :	2005-	7987			2	0040	324
	NZ.	5430	52			A						2004-						
PRAI	US	2000	-251	904P		P		2000										
	HS	2001	-464	5		Δ2		2001	1204									
	US	2003	-395	811		A		2003	0324									
	WO	2004	-US9	208		W		2004	0324									

- ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
- OS MARPAT 141:23544
- AB The title compds. [I; R1 = (un)substituted (hetero)ary1; R2, R3 = H, alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9, etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl, etc.; a = 0-4] having activity as inhibitors of the JNK pathway, were prepared E.g., a multi-step synthesis of I [R1 = 4-C1C6H4; R2-R6 = H] having an IC50 of ≤ 10 μM in the JNK2 assay, was given. Such compds. I have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition (such as obesity).
- ΙT 434945-02-5P 434945-17-2P 434945-32-1P
- 434945-38-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anilinopyrimidines as JNK pathway inhibitors for treating or preventing an inflammatory or metabolic condition)

RN 434945-02-5 CAPLUS

CN Benzamide, 4-[[4-[(trifluoromethyl)thio]phenyl]-2-pyrimidinyl]amino]-(CA INDEX NAME)

RN 434945-17-2 CAPLUS

CN Benzamide, 4-[[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 434945-32-1 CAPLUS

CN Ethanone, 1-[4-[4-[4-[4-(trifluoromethoxy)phenyl]-2pyrimidinyl]amino]benzoyl]-1-piperazinyl]- (CA INDEX NAME)

RN 434945-38-7 CAPLUS

CN Ethanone, 1-[4-[4-[4-[(4-[(trifluoromethyl))thio]phenyl]-2pyrimidinyl]amino]benzoyl]-1-piperazinyl]- (CA INDEX NAME)

OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

10/577,047

RE.CNT 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 19 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
1.4
AN
     2004:80448 CAPLUS
DN
    140:122817
    NPY5 antagonist-antiobesity agent combination for the prevention and
     treatment of diabetes, obesity, and obesity-related disorders
    Macneil, Douglas J.; Mcintyre, James H.; Van Der Ploeg, Leonardus H. T.;
     Ishihara, Akane
PA
    Merck & Co., Inc., USA; Banvu Pharmaceutical Co., Ltd.
SO
     PCT Int. Appl., 134 pp.
     CODEN: PIXXD2
DT
     Patent
                                          same as # 20
T.A
     English
FAN.CNT 1
                        KIND
                                DATE
                                          APPLICATION NO.
     PATENT NO.
                                                                   DATE
                         ----
                         A2
                                          WO 2003-US22077
PΙ
     WO 2004009015
                                20040129
                                                                    20030714
     WO 2004009015
                         A3
                                20040304
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
             TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                          A1
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     CA 2492225
                               20040129
                                          CA 2003-2492225
     AU 2003253925
                          A1
                                20040209
                                            AU 2003-253925
                                                                    20030714
     EP 1534074
                          A2
                                20050601
                                            EP 2003-765587
                                                                    20030714
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2005533849
                         T
                                20051110
                                           JP 2004-523149
                                                                  20030714
     US 20050288213
                         A1
                               20051229
                                            US 2005-520566
                                                                   20050107
PRAI US 2002-396603P
                         P
                               20020718
     US 2002-417999P
                         P
                               20021011
     WO 2003-US22077
                         TAT
                                20030714
    MARPAT 140:122817
OS
AB
    The invention discloses compns. comprising a NPY5 antagonist and an
     antiobesity agent, useful for the treatment and prevention of diabetes,
     obesity, and obesity-related disorders. The invention also discloses
     methods of treating or preventing obesity and obesity-related disorders in
     a subject in need thereof by administering a composition of the invention.
     invention further discloses pharmaceutical compns., medicaments, and kits
     useful in carrying out the methods.
    328232-69-5
                    328232-78-6
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (NPY5 antagonist-antiobesity agent combination for the prevention and
        treatment of diabetes, obesity, and obesity-related disorders)
RN
     328232-69-5 CAPLUS
CN
     Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide,
```

(CA INDEX NAME)
Relative stereochemistry.

N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-3'-oxo-, (1a, 4B)-

RN 328232-78-6 CAPLUS

CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-1'-oxo-, (1α,4β)-(CA INDEX NAME)

Relative stereochemistry.

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2002:947029 CAPLUS
- 138:24705 DN
- TI Preparation of spiroisoindolinepiperidinecarboxamides,
 - spirocyclohexaneisobenzofurancarboxamides,
 - spiroazaisobenzofurancyclohexanecarboxamides, and related compounds as neuropeptide Y antagonists.
- Fukami, Takehiro; Kanatani, Akio; Ishihara, Akane; Ishii, Yasuyuki;
- Takahashi, Toshiyuki; Haga, Yuji; Sakamoto, Toshihiro; Itoh, Takahiro Banyu Pharmaceutical Co., Ltd., Japan
- SO U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Pat. Appl. 2002 52,371. CODEN: USXXCO
- DT Patent
- LA English
- FAN.CNT 3

PAIN.	PA:	ENT	NO.			KIN	D	DATE			API	PLI	ICAT	ION	NO.		D	ATE	
PI	US	2002	0188	124		A1		2002	1212									0020	
	US	6803 6326	372			B2		2004				~	000		0.4				010
		6335	3/5			B1		2001 2002			05	20	000-	040/	34		2	0000	818
		2002	345	271		BI													
	0.5	2002	0052.	3/1		AI		2002			US	20	001-	9833	98		2	0011	025
	05	6388	0//	٠.		BZ		2002 2003				~		22.4			_	0020	100
	ZA	2002	0007.	34		A		2003	0128		ZA	21	002-	/34			2		
	US	6462	053			BZ					US	20	002-	1012	21		2	0020	320
	US	6388 2002 6462 2002	0165	391		AI		2002	1107		***			0000	0.5		_		000
	US	2003	0055	251		AI		2003	0320		US	21	002-	2262	25		2	0020	823
	US	0049	1040	o 4		B2		2003	1110		TD	~		2212	c 1		-	0020	010
	JP	2003 6649 2003 3553	1048	84		A D2		2003	0409		JP	20	002-	2/12	bΙ		2	0020	918
	OP	3333	101			3.1		2004	0011		0.3	20	000	2102	101		2	0020	205
	WA	2002	191	4.2		A1		2003	0010		WA	20	003	Z48Z	191		2	0030	305
	TITO	2482 2003 2003	0764	43		AI		2003	0120		WO	20	003-	JFZO	11		2	0030	303
	WU	2003	AE,	30	n r	7M	2.11	2003	0170	DD	DI	,	DV	D.7	Ch	CNI	co	CD	CII
		W.						HR,											
								MG,											
								TT,									EL,	NO,	NO,
		DW.	GH,														7.14	7.7	DV
		Kw.						TM,											
								IE,											
								CM,											
	D.TT	2003	2213	19	C.	21	01,	2003	0017	011,	AII	21	003-	2213	10	112,	2	0030	305
	EP	2003 1483	266	1.7		Δ1		2003	1208		EP	20	nn3-	7102	52		2	กกรก	305
		1483										- '	000	. 102	-		_	0050	000
			AT,								GF	₹.	TT.	LT.	LII.	NT.	SE.	MC.	PT.
								RO,											/
	.TP	2005	5199	55	,	т	,	2005	0707	,	.TP	20	003-	5746	60	,	2	0030	305
	AT	2005 3874	52	-		Ť		2008	0315		AT	20	003-	7102	52		2	0030	305
	US	2003	0220	499		Ã1		2003	1127		IIS	20	003-	4537	37		2	0030	604
	IIS	6723	847			B2		2004	0420								_		
	US	2005	0032	820		A1		2005	0210		US	20	004-	9228	69		2	0040	823
	US	7304	072			B2		2007	1204								_		
PRAI	JP	1999	-233	573		A		1999	0820										
	JP	2000	-137	692		A		2000	0510										
	US	2000	-640	784		A3		2000	0818										
		2001		598		A2		2001											

JP 2000-247145	A3 200008	317
US 2002-92549	A 200203	108
US 2002-101221		
US 2002-226225	A3 200208	323
US 2002-226225 WO 2003-JP2611	W 200303	105
		ABLE IN LSUS DISPLAY FORMAT
OS MARPAT 138:24705		
AB Title compds. [I; Ar	1 = (substitu	ited) aryl, heteroaryl, QAr2; Ar2 =
(substituted) aryl,	heteroaryl; (2 = bond, CO; T, U, V, W = N,
(substituted) CH; X	= CH, CH(OH);	Y = (substituted) imino, O], were prepared
Thus, N-tert-butoxyc	arbonyl-4-pip	peridone was refluxed 3 h with PhCH2NH2 in
		stirred with o-iodobenzoyl chloride and
Et3N in PhMe at 80°		
		l-1,2,3,6-tetrahydropyridin-4-yl)-2-
		eated with Pd(OAc)2, Ph3P, K2CO3, and
Et4NCl in MeCN at 80		
		l',6'-dihydrospiro[1H-isoindole-1,4'(5'H)-
pyridine]-3(2H)-one.		
		soindoline-1,4'-piperidine]-1'-carboxamide
		peptide Y binding to NPY Y5 receptors
with $IC50 = 1.2 \text{ nM}$.		
IT 328232-69-5P 328		
478013-34-2P 478		478013-60-4P
478013-61-5P 478	013-62-6P	

(preparation of spiroisoindolinepiperidinecarboxamides,

spirocyclohexaneisobenzofurancarboxamides,

spiroazaisobenzofurancyclohexanecarboxamides, and related compds. as neuropeptide Y antagonists)

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

328232-69-5 CAPLUS RN CN

(Uses)

Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, $N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-3'-oxo-, (1\alpha, 4\beta)-$ (CA INDEX NAME)

- RN 328232-78-6 CAPLUS
- CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, $N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-1'-oxo-, (1\alpha, 4\beta)-$ (CA INDEX NAME)

- RN 478013-33-1 CAPLUS
- CN Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-4-hydroxy-3'-oxo-, (1a,4a)- (CA INDEX NAME)

Relative stereochemistry.

- RN 478013-34-2 CAPLUS
- CN Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-3'-oxo-, 5'-oxide, (1a,4B)- (CA INDEX NAME)

- RN 478013-35-3 CAPLUS
- CN Spiro[cyclohexane=1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-4-hydroxy-3'-oxo-, 5'-oxide, $(1\alpha,4\alpha)$ (CA INDEX NAME)

- RN 478013-60-4 CAPLUS
- CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)pheny]-2-pyrimidiny]]-4-hydroxy-1'-oxo-, (10,40)- (CA INDEX NAME)

- RN 478013-61-5 CAPLUS
- CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy]phenyl]-2-pyrimidinyl]-1'-oxo-, 5'-oxide, (1a,48)- (CA INDEX NAME)

- RN 478013-62-6 CAPLUS
- CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-4-hydroxy-1'-oxo-, 5'-oxide, (1a,4a)- (CA INDEX NAME)

OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)
RE.CNT 4 THERE ARE 14 CITED REPRENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 21 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN T. 4
- 2002;814853 CAPLUS AN
- DN 137:325431
- TI Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
- Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manjo; Levine, Barry H.
- PA USA
- SO U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. 6,417,185. CODEN: USXXCO
- DT Patent
- LA English

	PAT	TENT NO.	F	CIND	DATE	AP	PLICA	ATION NO		DATE
PI	US	20020156087		A1	20021024	US	200	1-949035		20010906
	US	7045519		B2	20060516					
	US	6417185		B1	20020709	US	1999	9-336038		19990618
	US	20030130289		A1	20030710	US	2002	2-309535		20021203
	US	7037918		B2	20060502					
	US	20060089369		A1	20060427	US	200	5-220400		20050906
	US	7425557		B2	20080916					
PRAI	US	1998-89978P		P	19980619					
	US	1999-336038		A2	19990618					
	US	2000-230480P		P	20000906					
	US	1999-336098		A3	19990618					
	US	2001-949035		A3	20010906					
ASSI	GNME	ENT HISTORY FOR	US	PATENT	AVAILABLE	IN	LSUS	DISPLAY	FORMAT	

- OS
- MARPAT 137:325431 AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un) substituted C; A = (un) substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc.; R5 and R7 = independently H, halo, alkoxy, quanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un) substituted (cyclo) alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylquanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human $GSK3\beta$ in a cell free assay with IC50 values of < 1 µM. Thus, I and compns. containing I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).
- 252905-23-0P, 5-Pyrimidinecarboxylic acid, 2-[[2-[(5-nitro-2-pyridiny1)amino]ethy1]amino]-4-[4-(trifluoromethoxy)phenyl]-, ethyl ester

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 252905-23-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[[2-[(5-nitro-2pyridinyl)amino]ethyl]amino]-4-[4-(trifluoromethoxy)phenyl]-, ethyl ester (CA INDEX NAME)

IT 403807-25-0, [2-(2-Pyridylamino)ethyl][4-[4 (trifluoromethoxy)phenyl]pyrimidin-2-yl]amine
 Ri: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 403807-25-0 CAPLUS

CN 1,2-Ethanediamine, N1-2-pyridinyl-N2-[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]- (CA INDEX NAME)

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 306 THERE ARE 306 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 22 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
T. 4
AN
    2002:449662 CAPLUS
DN
     137:33310
     Preparation of anilinopyrimidines as IKK inhibitors
    Kois, Adam; MacFarlane, Karen J.; Satoh, Yoshitaka; Bhagwat, Shripad S.;
IN
     Parnes, Jason S.; Palanki, Moorthy S. S.; Erdman, Paul E.
PA
     Signal Pharmaceuticals, Inc., USA
SO
     PCT Int. Appl., 194 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                        KIND DATE APPLICATION NO.
                                                                   DATE
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                                            -----
     WO 2002046171
                         A2
                              20020613 WO 2001-US46403
                                                                   20011205
PT
                         A3 20030123
     WO 2002046171
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA.
             UG, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 20030203926
                               20031030
                                           US 2001-4642
                          A1
     US 7122544
                          B2
                               20061017
                                                                   20011205
     CA 2431160
                         A1 20020613 CA 2001-2431160
                               20020618 AU 2002-20195
     AU 2002020195
                         A
                                                                   20011205
                               20031008
     EP 1349841
                          A2
                                           EP 2001-999564
                                                                    20011205
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                         Т
     JP 2004523497
                               20040805
                                          JP 2002-547910
                                                                   20011205
     AU 2002220195
                         B2
                             20060824
                                           AU 2002-220195
                                                                   20011205
                       A1
     US 20060030576
                               20060209
                                           US 2005-211383
                                                                   20050824
     US 7442699
                         B2 20081028
PRAI US 2000-251816P P
US 2001-4642 A1
WO 2001-US46403 W
                               20001206
                         A1
                               20011204
                               20011205
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
    MARPAT 137:33310
AB
     The title compds. [I; R1 = (un)substituted (hetero)aryl; R2 = H; R3 = H,
     alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9,
     etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl,
     etc.; a = 0-4) having activity as inhibitors of IKK, particularly IKK-2,
     were prepared E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2-R6 = H] having an IC50 of \leq 1 \muM in the IKK-2 enzyme assay, was given.
     Such compds. I have utility in the treatment of a wide range of conditions
     that are responsive to IKK inhibition. Thus, methods of treating such
     conditions are also disclosed, as are pharmaceutical compns. containing one or
     more compds. of the above compds.
    434945-02-5P
                     434945-17-2P
                                      434945-32-1P
     434945-38-7P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
```

(preparation of anilinopyrimidines as IKK inhibitors)

(Uses)

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

- RN 434945-02-5 CAPLUS
- CN Benzamide, 4-[[4-[4-[(trifluoromethyl)thio]phenyl]-2-pyrimidinyl]amino]-(CA INDEX NAME)

- RN 434945-17-2 CAPLUS
- CN Benzamide, 4-[[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 434945-32-1 CAPLUS
- CN Ethanone, 1-[4-[4-[4-(trifluoromethoxy)phenyl]-2pyrimidinyl]amino]benzoyl]-1-piperazinyl]- (CA INDEX NAME)

- RN 434945-38-7 CAPLUS
- CN Ethanone, 1-[4-[4-[4-[(trifluoromethyl)thio]phenyl]-2pyrimidinyl]amino]benzoyl]-1-piperazinyl]- (CA INDEX NAME)

- OSC.G 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)
- RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 - ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 23 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
T. 4
AN
    2002:449661 CAPLUS
DN
    137:33309
TI
    Preparation of anilinopyrimidines as JNK pathway inhibitors
    Kois, Adam; MacFarlane, Karen J.; Satoh, Yoshitaka; Bhagwat, Shripad S.;
IN
    Parnes, Jason S.; Palanki, Moorthy S. S.; Erdman, Paul E.
PA
    Signal Pharmaceuticals, Inc., USA
SO
    PCT Int. Appl., 199 pp.
    CODEN: PIXXD2
    Patent
LA
    English
FAN.CNT 2
    PATENT NO.
                      KIND DATE
                                         APPLICATION NO.
                       ____
    WO 2002046170
                       A2 20020613 WO 2001-US46402
PT
                                                                20011205
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA.
            UG, UZ, VN, YU, ZA, ZM, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                        A1 20020613 CA 2001-2430966 20011205
    CA 2430966
    AU 2002027214
                              20020618
                                         AU 2002-27214
                        A
                                                                 20011205
    EP 1349840
                        A2
                            20031008
                                         EP 2001-996103
                                                                 20011205
                              20090311
    EP 1349840
                        В1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    JP 2004534728 T
                             20041118 JP 2002-547909
                                                                 20011205
    AU 2002227214
                        B2 20061123
                                          AU 2002-227214
                                                                20011205
    AT 425149
                        T
                             20090315
                                          AT 2001-996103
                                                                 20011205
PRAI US 2000-251904P P
WO 2001-US46402 W
                             20001206
                             20011205
OS
    MARPAT 137:33309
AB
    The title compds. [I; R1 = (un)substituted (hetero)aryl; R2 = H; R3 = H,
    alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9,
    etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl,
    etc.; a = 0-4] having activity as inhibitors of the JNK pathway, were
    prepared E.g., a multi-step synthesis of I [R1 = 4-C1C6H4; R2-R6 = H]
    having an IC50 of ≤ 10 μM in the JNK2 assay, was given. Such
    compds. I have utility in the treatment of a wide range of conditions that
    are responsive to inhibition of the JNK pathway. Thus, methods of
    treating such conditions are also disclosed, as are pharmaceutical compns.
    containing one or more compds. of the above compds.
                    434945-17-2P
    434945-02-5P
                                    434945-32-1P
    434945-38-7P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
    (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
```

(preparation of anilinopyrimidines as JNK pathway inhibitors) RN 434945-02-5 CAPLUS

CN Benzamide, 4-[4-[4-[(trifluoromethy1)thio]pheny1]-2-pyrimidiny1]amino]-(CA INDEX NAME)

RN 434945-17-2 CAPLUS

CN Benzamide, 4-[[4-[4-(trifluoromethoxy)pheny1]-2-pyrimidiny1]amino]- (CA INDEX NAME)

RN 434945-32-1 CAPLUS

CN Ethanone, 1-[4-[4-[4-(trifluoromethoxy)phenyl]-2pyrimidinyl]amino]benzoyl]-1-piperazinyl]- (CA INDEX NAME)

RN 434945-38-7 CAPLUS

CN Ethanone, 1-[4-[4-[4-[(4-[(trifluoromethyl)thio]phenyl]-2pyrimidinyl]amino]benzoyl]-1-piperazinyl]- (CA INDEX NAME)

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

- ANSWER 24 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN T. 4
- 2002:185092 CAPLUS AN
- 136:247598 DN
- TI Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
 - Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoi; Levine, Barry H.
- Chiron Corporation, USA SO PCT Int. Appl., 268 pp.
- CODEN: PIXXD2
- DT Patent
- I.A English FAN.CNT 3

	PATENT NO.								APPLICATION NO.									
PI	WO	2002	0204	95		A2		2002	0314								0010	906
		W:	CO, GM, LS, PT,	CR, HR, LT, RO,	CU, HU, LU, RU,	CZ, ID, LV,	DE, IL, MA, SE,	AU, DK, IN, MD, SG,	DM, IS, MG,	DZ, JP, MK,	EC, KE, MN,	EE, KG, MW,	ES, KP, MX,	FI, KR, MZ,	GB, KZ, NO,	GD, LC, NZ,	GE, LK, PH,	GH, LR, PL,
		RW:	GH, DE,	GM, DK,	KE, ES,	LS, FI,	MW, FR,	MZ, GB, GA,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		2001																
	EΡ	1317																
		R:						ES,					LI,	LU,	NL,	SE,	MC,	PT,
								RO,										
		2004																
	CIV	1592 2001	743 20E0	2.0		n n		2003									0010	
		2001						2005										
	N.D	8167	anoo.	211		D1		2003										
		2008						2008										
		8608	27	20		B1		2008			1/1/ 2	000	,010	0 /		-	0000	121
PRAT		2000	-230	480P		P												
	WO	2001	-US4:	2081		W		2001										
		2003																
OS		RPAT																

AB

MARPAT 136:247598 Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)arvl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc.; R5 and R7 = independently H, halo, alkoxy, quanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un) substituted (cyclo) alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acvl(oxv), quanidinvl, (hetero)arvl, heterocvclo(alkvl), arvlsulfonvl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage,

the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3 β in a cell free assay with IC50 values of < 1 μ M. Thus, I and compns. containing I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT 252905-23-0P, 5-Pyrimidinecarboxylic acid,
2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-[4(trifluoromethoxylphenyl]-, ethyl ester

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 252905-23-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[[2-[(5-nitro-2-pyridinyl)aminolethyllaminol-4-[4-(trifluoromethoxy)c

IT 403807-25-0, [2-(2-Pyridylamino)ethyl][4-[4-(trifluoromethoxy)phenyl]pyrimidin-2-yl]amine RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 403807-25-0 CAPLUS

CN 1,2-Ethanediamine, N1-2-pyridinyl-N2-[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]- (CA INDEX NAME)

OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2001:152682 CAPLUS
- DN 134:207809
- TI Preparation of spiroisoindolinepiperidines, spiroisoquinolinepiperidines, spiroisobenzofuranpiperidines, and related compounds as neuropeptide Y antaquonists.
- IN Fukami, Takehiro; Kanatani, Akio; Ishihara, Akane; Ishii, Yasuyuki; Takahashi, Toshiyuki; Haga, Yuji; Sakamoto, Toshihiro; Itoh, Takahiro
- PA Banyu Pharmaceutical Co., Ltd., Japan SO PCT Int. Appl., 164 pp.
- CODEN: PIXXD2

DT Patent

same as # 20

LA	English
FAN.	CNT 3

E MIN.	PAT	TENT NO.		KIND	DATE	APPLICATION NO.				
PI		2001014376 W: AE, AG, CZ, DM, LC, LK,	AL, DZ,	A1 AM, EE, LT,	20010301 AU, AZ, BA, GD, GE, HR, LV, MA, MD,	WO 2000-JP5427 BB, BG, BR, BY, BZ, CA, HU, ID, IL, IN, IS, JP, MG, MK, MN, MX, NO, NZ, UA, US, UZ, VN, YU, ZA	CN, CR, CU, KG, KR, KZ,			
		RW: GH, GM, DE, DK,	KE, ES,	LS,	MW, MZ, SD, FR, GB, GR,	SL, SZ, TZ, UG, ZW, AT, IE, IT, LU, MC, NL, PT, ML, MR, NE, SN, TD, TG				
	TELE	270402	CI,	CPI,	20070421	TH 2000-00115560	20000002			
	CV	2370103		7.1	20070421	TW 2000-89115560 CA 2000-2379103	20000003			
	BD	2000013423		V.	20010501	BD 2000-13423	20000011			
	EP	1204663		A1	20020515	EP 2000-951971	20000811			
	EP	1204663		В1	20031029	BR 2000-13423 EP 2000-951971				
		R: AT, BE,	CH.	DE,	DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,			
		TE. ST.	LT.	TAT:	FT. RO. MK.	CY. AL.				
	TR	200200408 2002003107		T2	20020621	TR 2002-408 HU 2002-3107	20000811			
	HU	2002003107		A2	20021228	HU 2002-3107	20000811			
	HU	2002003107		A3	20040628					
	EE	200200082		A	20030616	HU 2002-3107 EE 2002-82 NZ 2000-517057 AU 2000-64762 AT 2000-951971 ES 2000-951971 CN 2000-811855 CN 2004-1008335 IL 2000-148119 SK 2002-252 JP 2000-247145	20000811			
	NZ	517057		A	20030829	NZ 2000-517057	20000811			
	ΑU	767229		B2	20031106	AU 2000-64762	20000811			
	ΑT	253064		T	20031115	AT 2000-951971	20000811			
	ES	2206287		Т3	20040516	ES 2000-951971	20000811			
	CN	1202108		C	20050518	CN 2000-811855	20000811			
	CN	1640877		A	20050720	CN 2004-10083535	20000811			
	CN	100457757		С	20090204					
	IL	148119		A	20080106	IL 2000-148119	20000811			
	SK	286609		В6	20090205	SK 2002-252 JP 2000-247145	20000811			
	JP	2002030086 3411262		A D2	20020129 20030526	JP 2000-24/145	20000817			
	TNI	2002KN00125		A A	20050326	IN 2002-KN125	20020126			
	73	20020000123		n n	20030311	75 2002-KN125	20020123			
	HB	2002000734 2002000102 106390 2002001693		B1	20050430	ZA 2002-734 HR 2002-102 BG 2002-106390	20020120			
	BC	106390		y DI	20030430	BC 2002-102	20020201			
	MY	2002001693		Δ	20021225	MY 2002-1693	20020200			
	NO	2002001033		A	20020415	MX 2002-1693 NO 2002-814	20020210			
	NO	2002000814 323514 749713		В1	20070604					
	KR	749713		В1	20070816	KR 2002-702202	20020220			
	HK	1043123		A1	20040130	HK 2002-104686	20020624			
	US	20030055251		A1	20030320	US 2002-226225	20020823			
	US	6649624		B2	20031118	HK 2002-104686 US 2002-226225				

	JP	2003104884	A	20030409	JP	2002-271261	20020918
	JP	3553560	B2	20040811			
	US	20030220499	A1	20031127	US	2003-453737	20030604
	US	6723847	B2	20040420			
PRAI	JP	1999-233573	A	19990820			
	JP	2000-137692	A	20000510			
	WO	2000-JP5427	W	20000811			
	JP	2000-247145	A3	20000817			
	US	2000-640784	A3	20000818			
	US	2001-983598	A3	20011025			
	US	2002-101221	A3	20020320			
	US	2002-226225	A3	20020823			
OS	MAI	RPAT 134:207809					

Title compds. [I; Arl = (substituted) aryl, heteroaryl, QAr2; Ar2 = (substituted) aryl, heteroaryl; Q = bond, CO; T, U, V, W = N, (substituted) CH; X = N, CH; Y = (substituted) imino], were prepared Thus, N-tert-butoxycarbonyl-4-piperidone was refluxed 3 h with PhCH2NH2 in PhMe to give a residue which was stirred with o-iodobenzoyl chloride and Et3N in PhMe at 80° for 2 h to give N-benzvl-N-(1-tert-butoxycarbonvl-1,2,3,6-tetrahydropyridin-4-vl)-2iodobenzamide. The latter was heated with Pd(OAc)2, Ph3P, K2CO3, and Et4NCl in MeCN at 80° for 6 h to give

2-benzyl-1'-tert-butoxycarbonyl-1',6'-dihydrospiro[1H-isoindole-1,4'(5'H)pyridine]-3(2H)-one. This was converted to

N-(4-benzoylphenyl)-3-oxospiro[isoindoline-1,4'-piperidine]-1'carboxamide, (II), which inhibited [1251]peptide YY binding to NPY Y5 receptors with IC50 = 1.2 nM. II drug formulations are given.

328232-78-6P 328232-69-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of spiroisoindolinepiperidines, spiroisoquinolinepiperidines, spiroisobenzofuranpiperidines, and related compds. as neuropeptide Y antagonists)

RN 328232-69-5 CAPLUS

CN Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, $N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-3'-oxo-, (1\alpha, 4\beta)-$ (CA INDEX NAME)

Relative stereochemistry.

RN 328232-78-6 CAPLUS CN Spiro(cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-1'-oxo-, $(1a,4\beta)$ - (CA INDEX NAME)

Relative stereochemistry.

OSC.G 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (36 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 26 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN T. 4
- 1999:811233 CAPLUS AN
- DN 132:64265
- Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase TI 3 inhibitors
- Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Brown, Sean P.; Goff, Dane; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithry; Renhowe, Paul A.; Seely, Lynn; Subramanian, Sharadha; Wagman, Allan S.; Zhou, Xiaohui A.
- Chiron Corporation, USA
- SO PCT Int. Appl., 262 pp. CODEN: PIXXD2
- DT Patent
- T 75 English

nu.	Bild	ATTO:
	.CNT	3

FAN.	PATENT NO.					KIND DATE					ADDITORTION NO						DATE			
											APPL	ICAT	ION	NO.		D.	ATE			
PΙ	WO	9965																		
		W:										BR,								
												GM,								
												LS,								
			MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,		
			TM,	TR,	TT,	UA,	UG,	US,	UΖ,	VN,	YU,	ZA,	zw							
		RW:										ZW,								
												NL,			BF,	ΒJ,	CF,	CG,		
												TD,								
	ΑU	9949	566			A		2000	0105		AU 1	999-	4956	6		1	9990	618		
		1087									EP 1	999-	9335:	22		1	9990	618		
	EP	1087	963			B1		2004	0825											
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
			ΙE,	SI,	LT,	LV,	FΙ,	RO												
	US	6489	344			B1						999-					9990	618		
	JP	2003 2745	5273	03		T		2003	0916		JP 2	000-	5547:	22		1	9990	618		
																	9990			
		2000																		
	US	2003	0130	289		A1		2003	0710		US 2	002-	3095	35		2	0021	203		
		7037				B2		2006												
PRAI		1998																		
		1999						1999	0618											
	WO	1999	-US1	3809		W		1999	0618											

- ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
- OS MARPAT 132:64265
- AB RZCR2R12CR3R13Z1R5 [I; R = (un)substituted (hetero)aryl; Z = O, NR1, CR1R11; Z1 = O, NR4, CR4R14; R1-R4 = H, OH, NH2, alky1, alkoxy, etc.; R5 = (un) substituted 2-pyridyl or -pyrimidyl; R11-R14 = H or alkyl] were prepared Thus, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylquanidine which was cyclocondensed with resin-bound
- 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to give, after resin cleavage, title compound II. Data for biol. activity of I were given.
- 252905-23-0P
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 - (preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)
- RN 252905-23-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[[2-[(5-nitro-2pyridinyl)amino]ethyl]amino]-4-[4-(trifluoromethoxy)phenyl]-, ethyl ester (CA INDEX NAME)

OSC.G 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (33 CITINGS)
RE.ONT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

Preparation of N-phenyl-2-pyrimidineamine antitumor agents

- IN Zimmermann, Juerg PA Ciba-Geigy A.-G., Switz.
- SO PCT Int. Appl., 69 pp.
- CODEN: PIXXD2
- DT Patent
- LA English FAN.CNT 1

	PATENT NO.				KIND DATE										DATE			
						-									-			
PI	WO 9509	847			A1		1995	0413		WO 1	994-1	EP31	50		1	99409	921	
	W:	AM,	AU,	BB,	BG,	BR,	BY,	CA,	CN,	CZ,	EE,	FI,	GE,	HU,	JP,	KG,	KΡ,	
		KR,	KZ,	LK,	LR,	LT,	LV,	MD,	MG,	MN,	NO,	NZ,	PL,	RO,	RU,	SI,	SK,	
		ТJ,	TT,	UA,	US,	UZ,	VN											
	RW:	KE,	MW,	SD,	SZ,	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	
		MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	NE,	SN,	
		TD,	TG															
	CA 2148	931			A1		1995	0413		CA 1	993-	2148	931		1	99309	921	
	AU 9476	976			A		1995	0501		AU 1	994-	7697	6		1	99409	921	
	AU 6934	175			B2		1998	0702										
	EP 6720	35			A1		1995	0920		EP 1	994-	9276	34		1	99409	921	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	LI,	LU,	MC,	NL,	PT,	SE
	JP 0850	3971			T		1996	0430		JP 1:	994-	5105	77		1	99409	921	
	US 5612	340			A	19970318			US 1995-436345						1:	9950	517	
PRAI	CH 1993	-296	7		A	19931001												
	CH 1994	-227	9		A	19940718												
	WO 1994-EP3150 W 1994092					0921	21											

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 123:313996

- AB N-phenyl-2-pyrimidineamine derivs. [I; Rl = naphthyl, fluorenyl, anthracenyl, (un)substituted cyclic residue, etc.; R2 = NO2, Fsubstituted lower alkoxy, etc.] [e.g., N-[3-(1,1,2,2-tetrafluoroethoxy)phenyl]-4-(3,4,5-trimethoxyphenyl)-2-pyrimidineamine; m.p. 132°), useful for the treatment of tumor diseases (no data), are prepared and I-containing formulations presented.
- IT 170140-92-BP RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of N-phenyl-2-pyrimidineamine antitumor agents)
- RN 170140-92-8 CAPLUS
- CN 2-Pyrimidinamine, N-[3-(1,1,2,2-tetrafluoroethoxy)phenyl]-4-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

OSC.G 43 THERE ARE 43 CAPLUS RECORDS THAT CITE THIS RECORD (45 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/577,047

=> log v		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	153.28	342.74
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-22.14	-22.14

STN INTERNATIONAL LOGOFF AT 11:27:29 ON 31 AUG 2009